

Inventor Search

Harris 09/194,552

21/06/2004

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L11 ANSWER 1 OF 2 HCPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:805756 HCPLUS
DOCUMENT NUMBER: 128:48501
TITLE: Preparation of cyclopeptides, sulfonyltyrosine derivatives, and monoclonal antibodies as antitumor agents and $\alpha v \beta 5$ mediated angiogenesis inhibitors for treatment of eye diseases
INVENTOR(S): Brooks, Peter; Cheresh, David A.; Friedlander, Martin
PATENT ASSIGNEE(S): Scripps Research Institute, USA; Brooks, Peter; Cheresh, David A.; Friedlander, Martin
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9745447	A1	19971204	WO 1997-US9099	19970530
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9732183	A1	19980105	AU 1997-32183	19970530
AU 738782	B2	20010927		
EP 907661	A1	19990414	EP 1997-927814	19970530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9709514	A	19990810	BR 1997-9514	19970530
CN 1226254	A	19990818	CN 1997-196818	19970530
CN 1226172	A	19990818	CN 1997-196822	19970530
JP 2002515036	T2	20020521	JP 1997-542914	19970530
RU 2195312	C2	20021227	RU 1998-123834	19970530
NO 9805575	A	19990201	NO 1998-5575	19981127
KR 2000016301	A	20000325	KR 1998-709874	19981130
KR 2000016302	A	20000325	KR 1998-709875	19981130
PRIORITY APPLN. INFO.:			US 1996-15869P	P 19960531
			US 1996-18733P	P 19960531
			WO 1997-US9099	W 19970530

AB The present invention describes methods for inhibiting angiogenesis in tissues using vitronectin $\alpha v \beta 5$ antagonists. The $\alpha v \beta 5$ -mediated angiogenesis is correlated with exposure to cytokines including vascular endothelial growth factor, transforming growth factor- α and epidermal growth factor. Inhibition of $\alpha v \beta 5$ -mediated angiogenesis is particularly preferred in vascular endothelial ocular neovascular diseases, in tumor growth and in inflammatory conditions, using therapeutic compns. containing $\alpha v \beta 5$ antagonists. Thus, cyclopeptide cyclo(Arg-Asp-Gly-D-Phe-N-MeVal) (I) was prepared by standard solid-phase methods using 9-fluorenylmethoxycarbonyl (Fmoc)

chemical I and related RGD cyclopeptides, as well as N-sulfonyl-O-guanidinylalkyltyrosine derivs., monoclonal antibodies, and synthetic

matrix metalloproteins peptides and fusion proteins were tested for angiogenesis inhibition in a number of models, including an in vivo rabbit eye model.

IC ICM C07K014-435
 ICS C07K014-705; C07K014-78; C07K016-28; A61K038-16; A61K038-39;
 A61K039-395

CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 15, 63

ST angiogenesis inhibitor cyclopeptide vitronectin antagonist prepn;
 antitumor sulfonyltyrosine deriv prepn; monoclonal antibody prepn
 angiogenesis inhibitor; matrix metalloproteinase analog prepn angiogenesis inhibitor; eye disease treatment angiogenesis inhibitor prepn

IT Peptides, preparation
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (cyclic; preparation of cyclopeptides as antitumor agents and $\alpha\beta\delta$ mediated angiogenesis inhibitors for treatment of eye diseases)

IT Eye, disease
 (diabetic retinopathy; preparation of cyclopeptides, sulfonyltyrosine derivs., and monoclonal antibodies as antitumor agents and $\alpha\beta\delta$ mediated angiogenesis inhibitors for treatment of eye diseases)

IT Eye, disease
 (macula, degeneration; preparation of cyclopeptides, sulfonyltyrosine derivs., and monoclonal antibodies as antitumor agents and $\alpha\beta\delta$ mediated angiogenesis inhibitors for treatment of eye diseases)

IT Antibodies
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (monoclonal; preparation of matrix metalloproteinase fusion protein analogs and monoclonal antibodies as antitumor agents and $\alpha\beta\delta$ mediated angiogenesis inhibitors for treatment of eye diseases)

IT Angiogenesis inhibitors
 Antiarthritics
 Antiglaucoma agents
 Antirheumatic agents
 Antitumor agents
 (preparation of cyclopeptides, sulfonyltyrosine derivs., and monoclonal antibodies as antitumor agents and $\alpha\beta\delta$ mediated angiogenesis inhibitors for treatment of eye diseases)

IT Eye, disease
 (retinopathy; preparation of cyclopeptides, sulfonyltyrosine derivs., and monoclonal antibodies as antitumor agents and $\alpha\beta\delta$ mediated angiogenesis inhibitors for treatment of eye diseases)

IT Integrins
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 ($\alpha\beta\delta$, inhibitors; preparation of cyclopeptides, sulfonyltyrosine derivs., and monoclonal antibodies as antitumor agents and $\alpha\beta\delta$ mediated angiogenesis inhibitors for treatment of eye diseases)

IT 137813-35-5P 137813-36-6P 137894-01-0P
 153127-33-4P 161659-55-8P 170930-40-2P
 170930-42-4P 171035-58-8P 171035-59-9P
 188968-51-6P 188969-00-8P 199807-30-2P

199807-33-5P 199807-34-6P 199807-35-7P

199807-36-8P 199807-38-0P 200122-47-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of cyclopeptides as antitumor agents and $\alpha v\beta 5$ mediated angiogenesis inhibitors for treatment of eye diseases)

IT **188576-21-8**

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of cyclopeptides as antitumor agents and $\alpha v\beta 5$ mediated angiogenesis inhibitors for treatment of eye diseases)

IT **199807-31-3P 199807-32-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of cyclopeptides as antitumor agents and $\alpha v\beta 5$ mediated angiogenesis inhibitors for treatment of eye diseases)

IT **141907-41-7DP**, Matrix metalloproteinase, synthetic peptide and protein analogs 200014-08-0P 200014-09-1P

200014-10-4P 200014-11-5P 200014-12-6P

200014-13-7P 200014-14-8P 200014-15-9P

200014-16-0P 200014-17-1P 200014-18-2P

200014-19-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of matrix metalloproteinase fusion protein analogs and monoclonal antibodies as antitumor agents and $\alpha v\beta 5$ mediated angiogenesis inhibitors for treatment of eye diseases)

IT **188575-95-3P 188575-97-5P 188575-98-6P**

188576-02-5P 188576-03-6P 188576-04-7P

188576-05-8P 188576-06-9P 199807-23-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of sulfonyltyrosine derivs. as $\alpha v\beta 5$ mediated angiogenesis inhibitors for treatment of eye diseases)

IT **110-52-1**, 1,4-Dibromobutane **111-24-0**, 1,5-Dibromopentane

556-03-6, DL-Tyrosine **556-52-5**, Oxiranemethanol

594-44-5, Ethanesulfonyl chloride **873-74-5**,

p-Aminobenzonitrile **2386-60-9**, Butanesulfonyl chloride

3978-80-1 **10147-36-1**, Propanesulfonyl chloride

21286-54-4, 10-Camphorsulfonyl chloride **38184-47-3**,

3,5-Dimethylpyrazole-1-carboxamidine nitrate **70642-86-3**

142847-18-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of sulfonyltyrosine derivs. as $\alpha v\beta 5$ mediated angiogenesis inhibitors for treatment of eye diseases)

IT **18869-47-1P 19391-35-6P 129439-63-0P**

178380-48-8P 188575-90-8P 188575-91-9P

188575-92-0P 188575-93-1P 188575-94-2P

188575-96-4P 188576-01-4P 188576-07-0P

188576-08-1P 188576-09-2P 188576-10-5P

188576-11-6P 188576-14-9P 188576-15-0P

188576-16-1P 199807-22-2P 199807-24-4P

199807-25-5P 199807-26-6P 199807-27-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of sulfonyltyrosine derivs. as $\alpha v\beta 5$ mediated angiogenesis inhibitors for treatment of eye diseases)

IT **137813-35-5P 137813-36-6P 137894-01-0P**

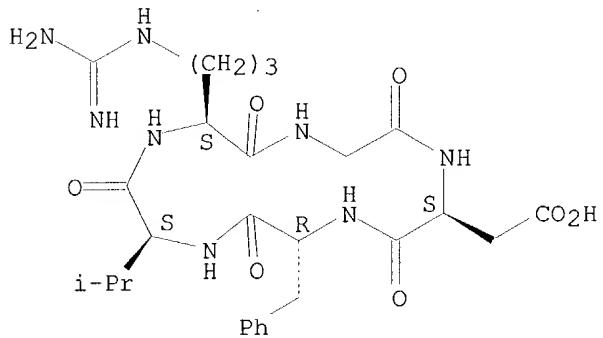
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 170930-42-4P 171035-58-8P 171035-59-9P
 188968-51-6P 188969-00-8P 199807-30-2P
 199807-33-5P 199807-34-6P 199807-35-7P
 199807-36-8P 199807-38-0P 200122-47-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of cyclopeptides as antitumor agents and α v β 5 mediated angiogenesis inhibitors for treatment of eye diseases)

RN 137813-35-5 HCPLUS

CN Cyclo(L-arginylglycyl-L- α -aspartyl-D-phenylalanyl-L-valyl) (9CI)
 (CA INDEX NAME)

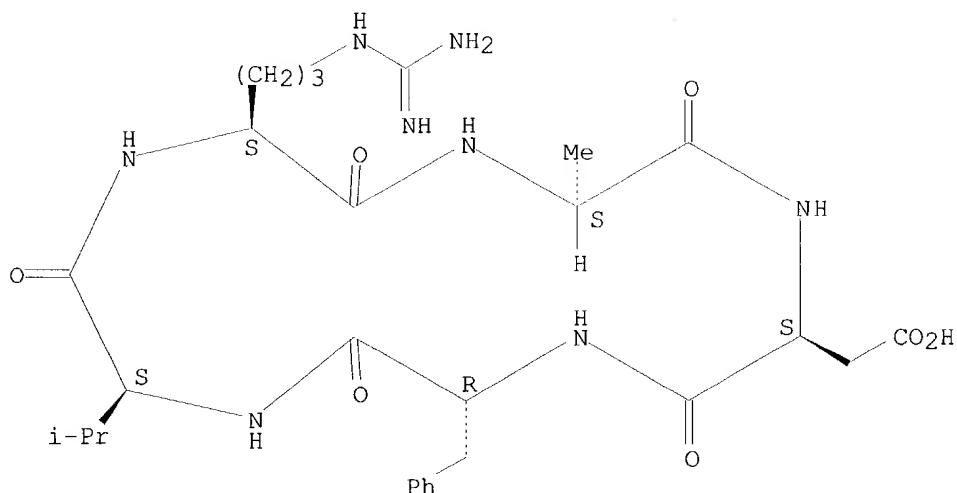
Absolute stereochemistry.



RN 137813-36-6 HCPLUS

CN Cyclo(L-alanyl-L- α -aspartyl-D-phenylalanyl-L-valyl-L-arginyl) (9CI)
 (CA INDEX NAME)

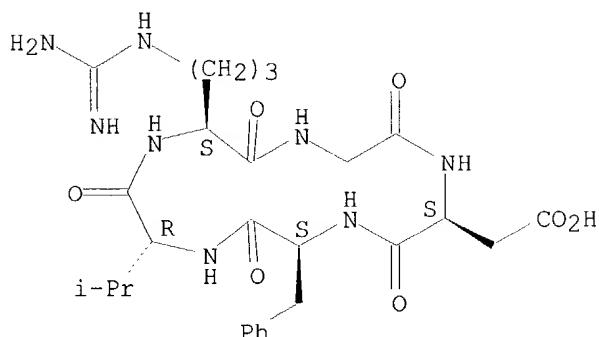
Absolute stereochemistry.



RN 137894-01-0 HCPLUS

CN Cyclo(L-arginylglycyl-L- α -aspartyl-L-phenylalanyl-D-valyl-L-alanyl-L-arginyl) (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

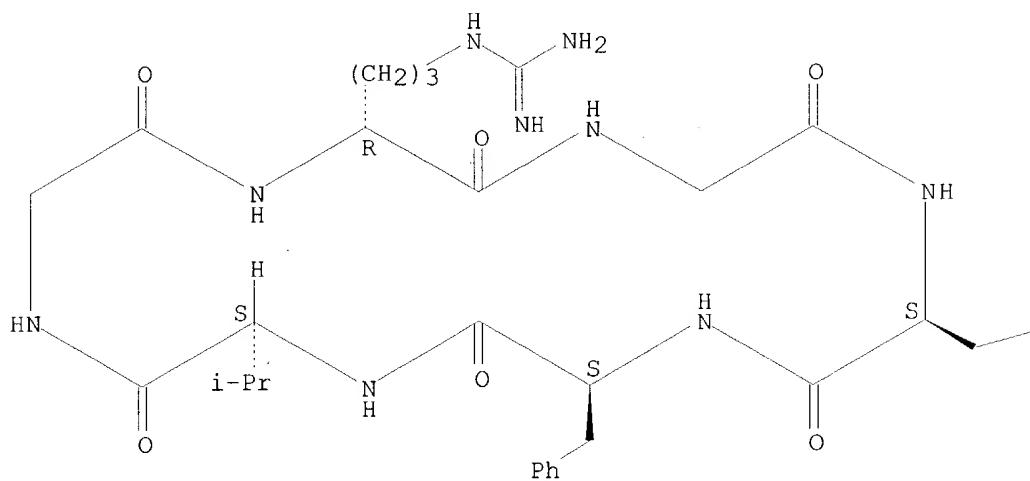


RN 153127-33-4 HCPLUS

CN Cyclo(D-arginylglycyl-L- α -aspartyl-L-phenylalanyl-L-valylglycyl)
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

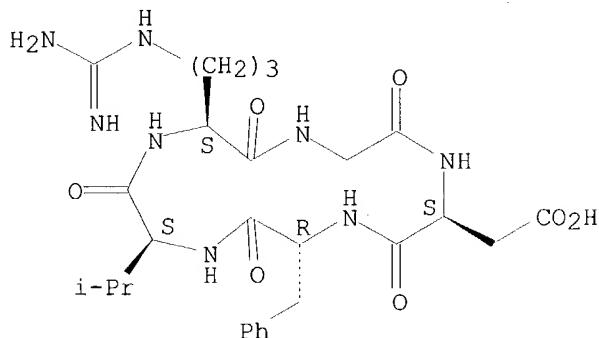


PAGE 1-B

$\text{--CO}_2\text{H}$

RN 161659-55-8 HCPLUS
 CN Cyclo(L-arginylglycyl-L- α -aspartyl-D-phenylalanyl-L-valyl),
 monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

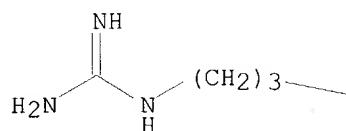
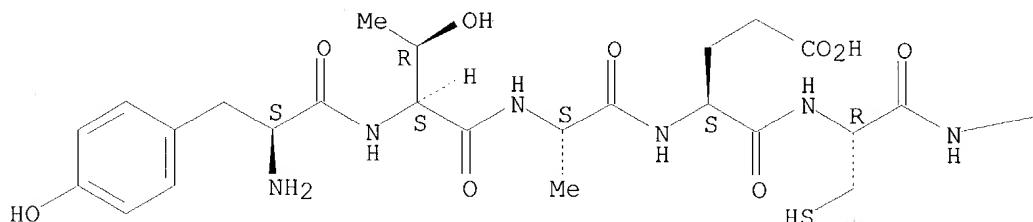


● HCl

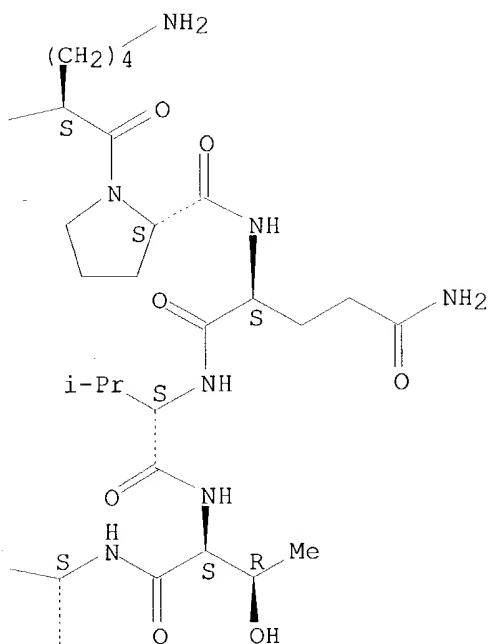
RN 170930-40-2 HCPLUS
 CN L-Phenylalanine, L-tyrosyl-L-threonyl-L-alanyl-L- α -glutamyl-L-cysteinyl-L-lysyl-L-prolyl-L-glutaminyl-L-valyl-L-threonyl-L-arginylglycyl-L- α -aspartyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

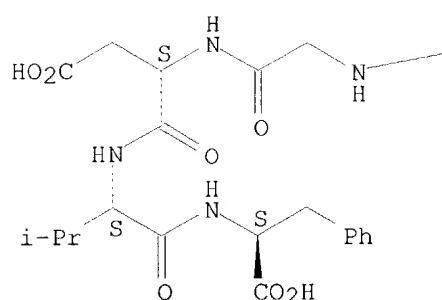
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PAGE 2-A



PAGE 2-B



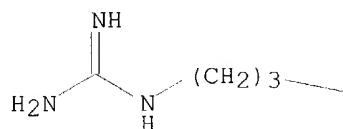
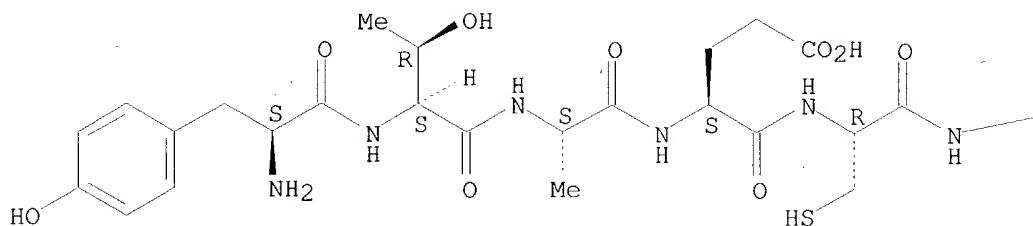
RN 170930-42-4 HCPLUS
 CN L-Phenylalanine, L-tyrosyl-L-threonyl-L-alanyl-L- α -glutamyl-L-cysteinyl-L-lysyl-L-prolyl-L-glutaminyl-L-valyl-L-threonyl-L-arginylglycyl-L- α -aspartyl-L-valyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

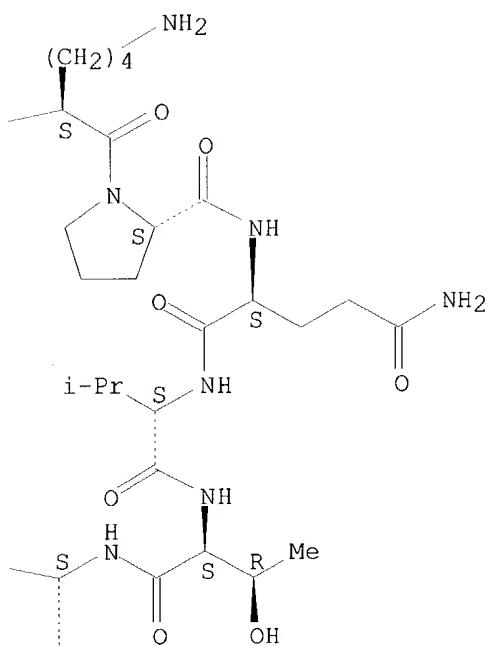
CRN 170930-40-2
CMF C75 H116 N20 O24 S

Absolute stereochemistry.

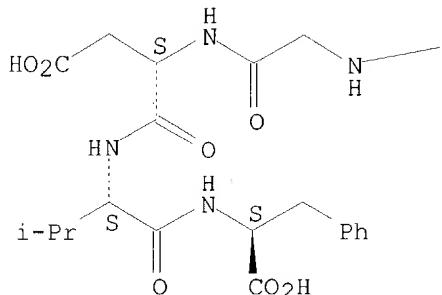
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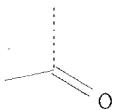
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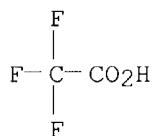
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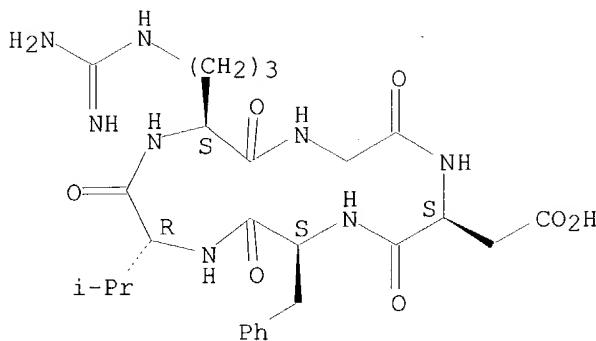
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CRN 76-05-1
CMF C2 H F3 O2RN 171035-58-8 HCAPLUS
CN Cyclo(L-arginylglycyl-L- α -aspartyl-L-phenylalanyl-D-valyl),
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

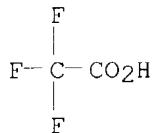
CM 1

CRN 137894-01-0
CMF C26 H38 N8 O7

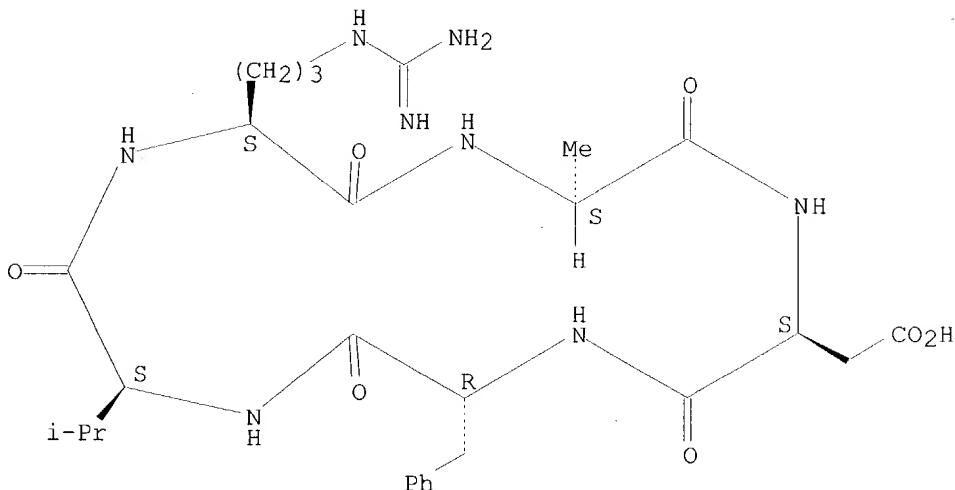
Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2RN 171035-59-9 HCPLUS
CN Cyclo(L-alanyl-L-α-aspartyl-D-phenylalanyl-L-valyl-L-arginyl), monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

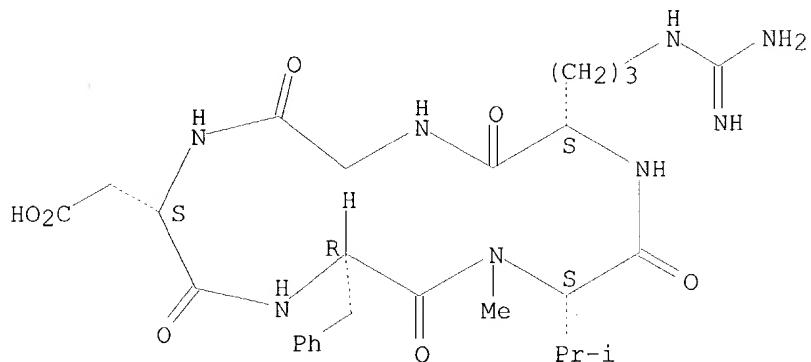


● HCl

RN 188968-51-6 HCPLUS

CN Cyclo(L-arginylglycyl-L- α -aspartyl-D-phenylalanyl-N-methyl-L-valyl)
 (9CI) (CA INDEX NAME)

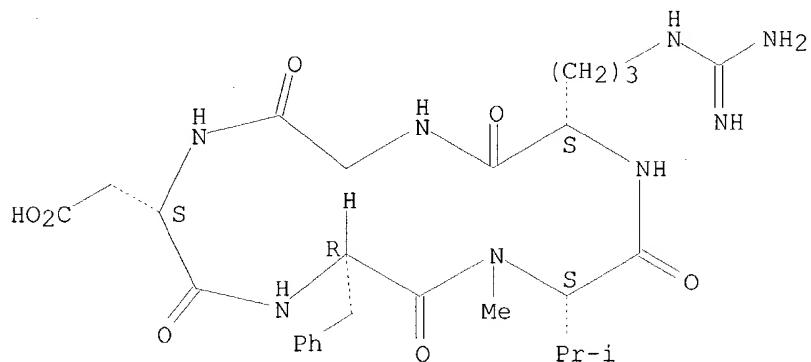
Absolute stereochemistry.



RN 188969-00-8 HCPLUS

CN Cyclo(L-arginylglycyl-L- α -aspartyl-D-phenylalanyl-N-methyl-L-valyl), monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

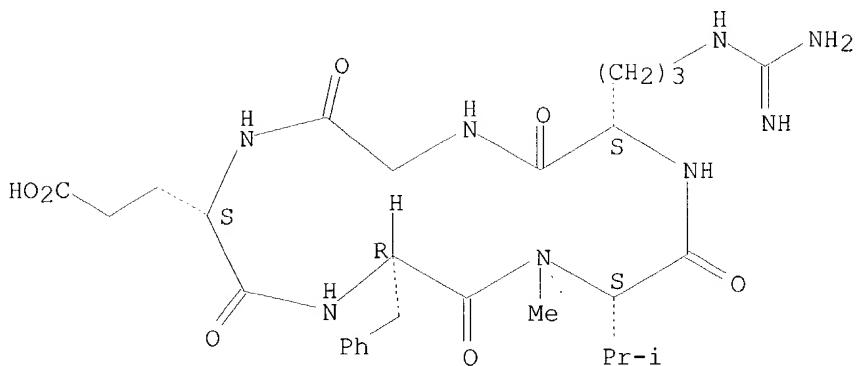


● HCl

RN 199807-30-2 HCPLUS

CN Cyclo(L-arginylglycyl-L- α -glutamyl-D-phenylalanyl-N-methyl-L-valyl)
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 199807-33-5 HCPLUS

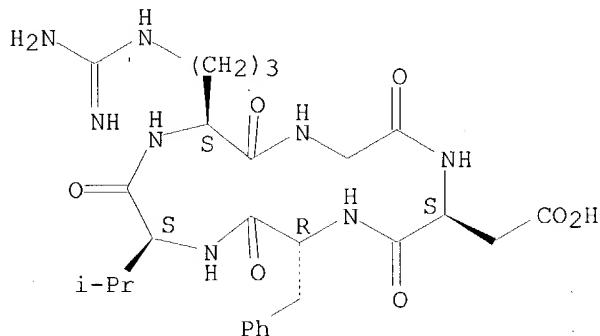
CN Cyclo(L-arginylglycyl-L- α -aspartyl-D-phenylalanyl-L-valyl),
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 137813-35-5

CMF C26 H38 N8 O7

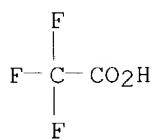
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 199807-34-6 HCPLUS

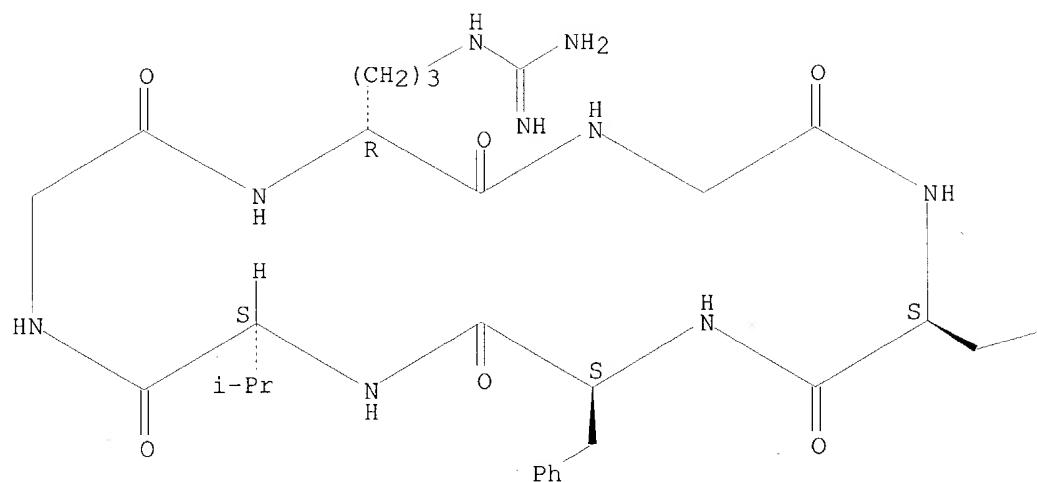
CN Cyclo(D-arginylglycyl-L- α -aspartyl-L-phenylalanyl-L-valylglycyl),
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 153127-33-4
 CMF C28 H41 N9 O8

Absolute stereochemistry.

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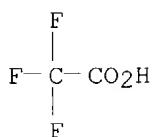


PAGE 1-B

-CO₂H

CM 2

CRN 76-05-1
 CMF C2 H F3 O2



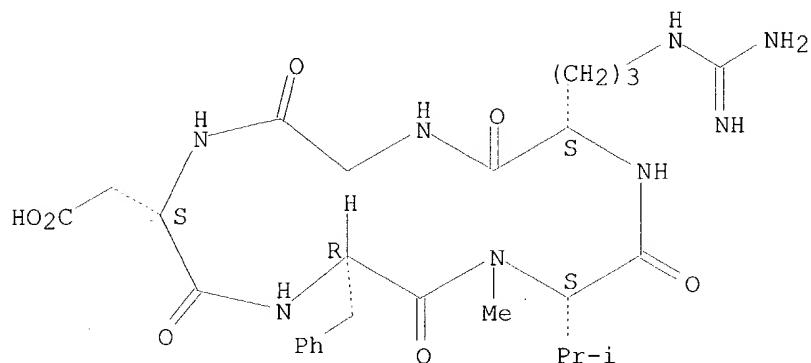
RN 199807-35-7 HCPLUS

CN Cyclo(L-arginylglycyl-L- α -aspartyl-D-phenylalanyl-N-methyl-L-valyl),
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

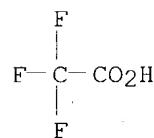
CRN 188968-51-6
CMF C27 H40 N8 O7

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

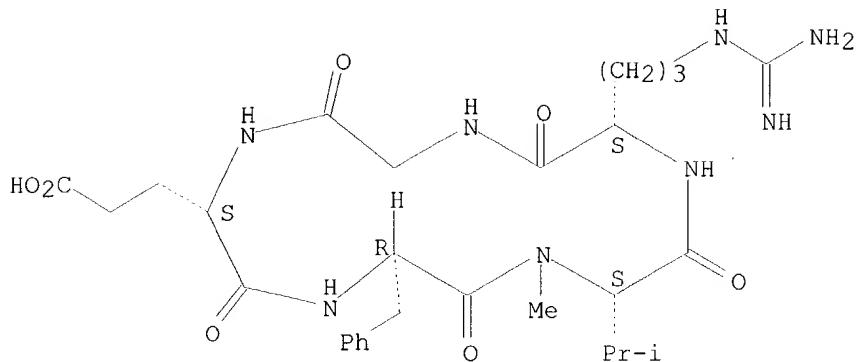


RN 199807-36-8 HCPLUS
CN Cyclo(L-arginylglycyl-L- α -glutamyl-D-phenylalanyl-N-methyl-L-valyl),
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

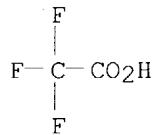
CM 1

CRN 199807-30-2
CMF C28 H42 N8 O7

Absolute stereochemistry.



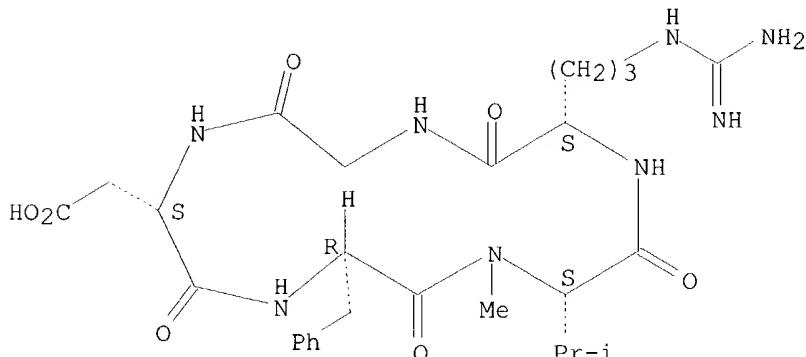
CM 2

CRN 76-05-1
CMF C2 H F3 O2RN 199807-38-0 HCAPLUS
CN Cyclo(L-arginylglycyl-L- α -aspartyl-D-phenylalanyl-N-methyl-L-valyl), monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 188968-51-6
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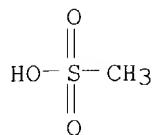
Absolute stereochemistry.



CM 2

CRN 75-75-2

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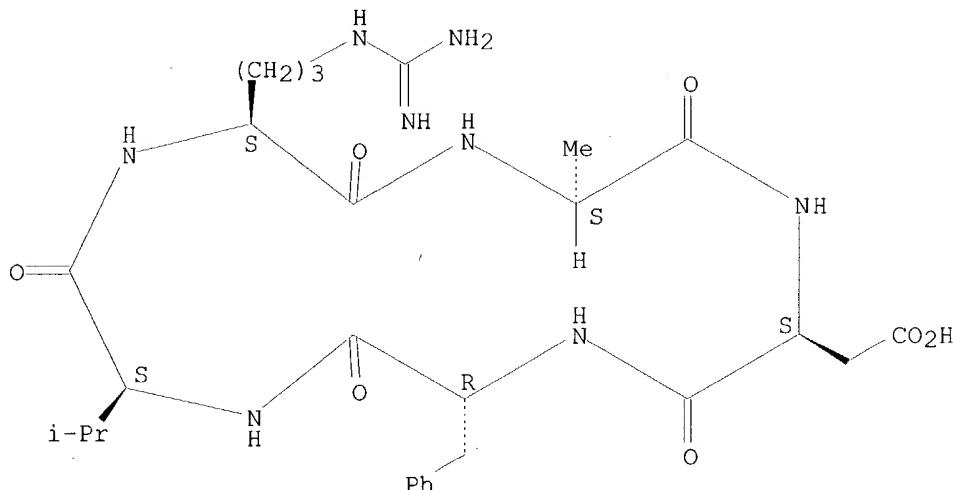


RN 200122-47-0 HCPLUS
 CN Cyclo(L-alanyl-L- α -aspartyl-D-phenylalanyl-L-valyl-L-arginyl),
 mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

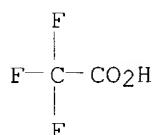
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 CMF C27 H40 N8 O7

Absolute stereochemistry.



CM 2

CRN 76-05-1
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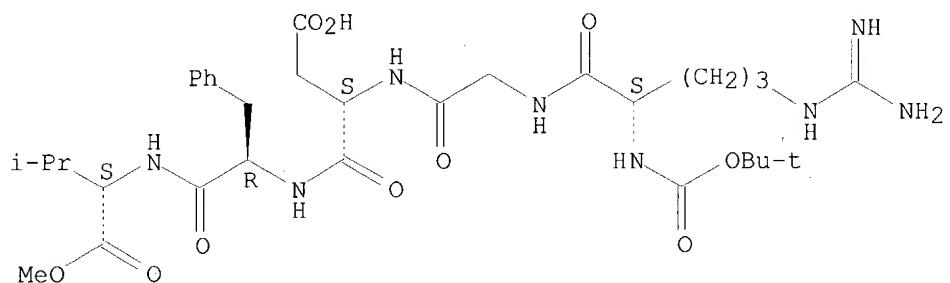
IT 188576-21-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of cyclopeptides as antitumor agents and α v β 5
 mediated angiogenesis inhibitors for treatment of eye diseases)

RN 188576-21-8 HCPLUS

CN L-Valine, N2-[(1,1-dimethylethoxy)carbonyl]-L-arginylglycyl-L- α -aspartyl-D-phenylalanyl-, 5-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 199807-31-3P 199807-32-4P

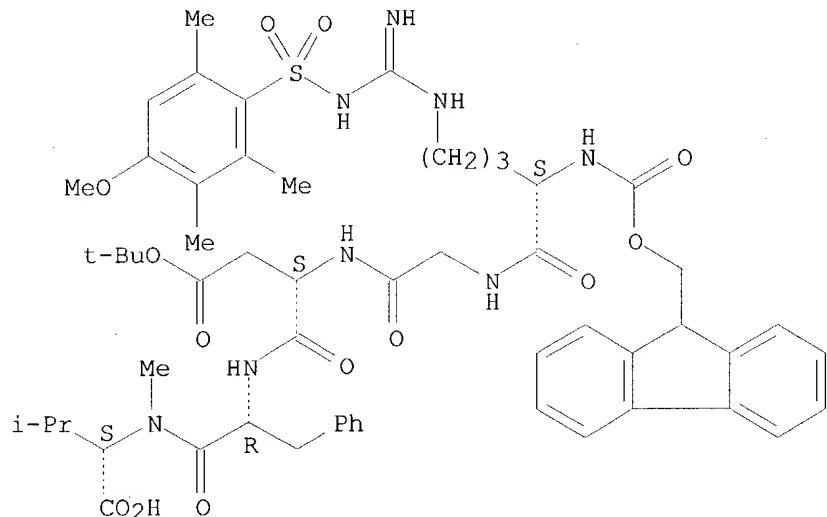
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclopeptides as antitumor agents and α v β 5 mediated angiogenesis inhibitors for treatment of eye diseases)

RN 199807-31-3 HCAPLUS

CN L-Valine, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N5-[imino[[(4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithylglycyl-L- α -aspartyl-D-phenylalanyl-N-methyl-, 3-(1,1-dimethylethyl) ester, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

● Na

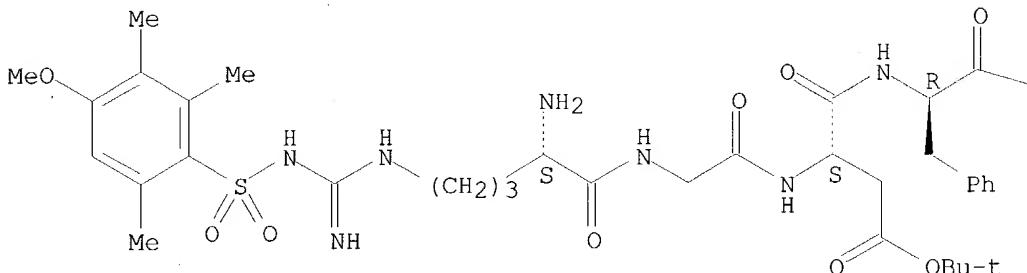
RN 199807-32-4 HCAPLUS

CN L-Valine, N5-[imino[[(4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino]methyl

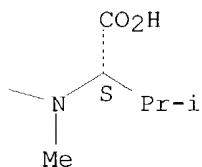
1]-L-ornithylglycyl-L- α -aspartyl-D-phenylalanyl-N-methyl-,
3-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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IT 141907-41-7DP, Matrix metalloproteinase, synthetic peptide and protein analogs 200014-08-0P 200014-09-1P
200014-10-4P 200014-11-5P 200014-12-6P
200014-13-7P 200014-14-8P 200014-15-9P
200014-16-0P 200014-17-1P 200014-18-2P
200014-19-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of matrix metalloproteinase fusion protein analogs and monoclonal antibodies as antitumor agents and $\alpha v \beta 5$ mediated angiogenesis inhibitors for treatment of eye diseases)

RN 141907-41-7 HCAPLUS

CN Proteinase, matrix metallo- (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 200014-08-0 HCAPLUS

CN 410-631-Gelatinase (human TBE-1 cell reduced) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 200014-09-1 HCAPLUS

CN 439-631-Gelatinase (human TBE-1 cell reduced) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 200014-10-4 HCAPLUS

CN 439-512-Gelatinase (human TBE-1 cell reduced) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 200014-11-5 HCAPLUS

CN 439-546-Gelatinase (human TBE-1 cell reduced) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 200014-12-6 HCPLUS
 CN 510-631-Gelatinase (human TBE-1 cell reduced) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 200014-13-7 HCPLUS
 CN 543-631-Gelatinase (human TBE-1 cell reduced) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 200014-14-8 HCPLUS
 CN 400-627-Gelatinase (chicken clone p72K3.1 reduced) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 200014-15-9 HCPLUS
 CN 435-627-Gelatinase (chicken clone p72K3.1 reduced) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 200014-16-0 HCPLUS
 CN 435-508-Gelatinase (chicken clone p72K3.1 reduced) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 200014-17-1 HCPLUS
 CN 435-542-Gelatinase (chicken clone p72K3.1 reduced) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 200014-18-2 HCPLUS
 CN 506-627-Gelatinase (chicken clone p72K3.1 reduced) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 200014-19-3 HCPLUS
 CN 539-627-Gelatinase (chicken clone p72K3.1 reduced) (9CI) (CA INDEX NAME)

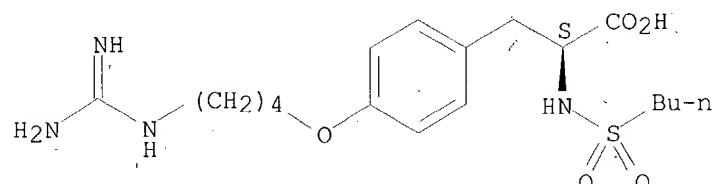
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 188575-95-3P 188575-97-5P 188575-98-6P
 188576-02-5P 188576-03-6P 188576-04-7P
 188576-05-8P 188576-06-9P 199807-23-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of sulfonyltyrosine derivs. as $\alpha\beta 5$ mediated angiogenesis inhibitors for treatment of eye diseases)

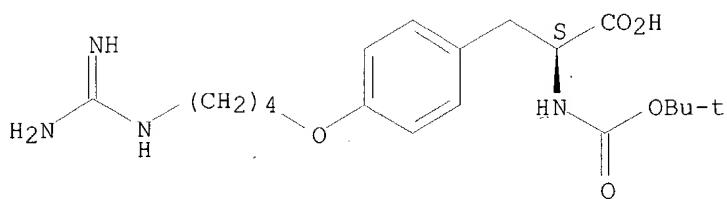
RN 188575-95-3 HCPLUS
 CN L-Tyrosine, O-[4-[(aminoiminomethyl)amino]butyl]-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188575-97-5 HCPLUS
 CN L-Tyrosine, O-[4-[(aminoiminomethyl)amino]butyl]-N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

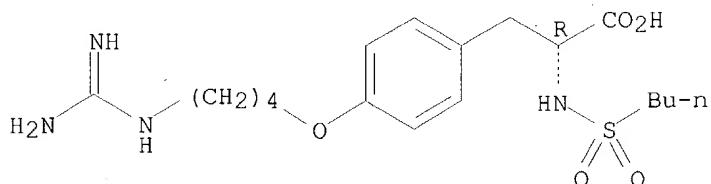
Absolute stereochemistry.



RN 188575-98-6 HCAPLUS

CN D-Tyrosine, O-[4-[(aminoiminomethyl)amino]butyl]-N-(butylsulfonyl)- (9CI)
(CA INDEX NAME)

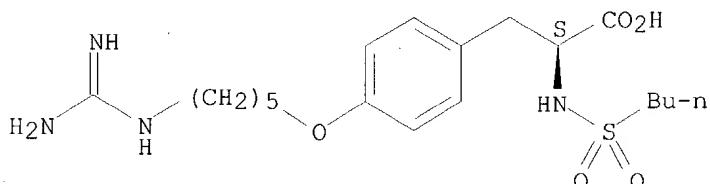
Absolute stereochemistry.



RN 188576-02-5 HCAPLUS

CN L-Tyrosine, O-[5-[(aminoiminomethyl)amino]pentyl]-N-(butylsulfonyl)- (9CI)
(CA INDEX NAME)

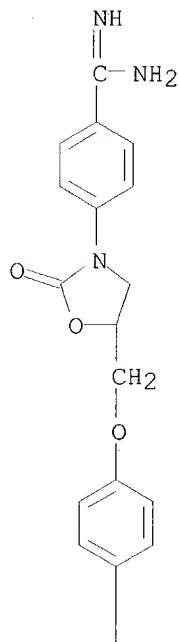
Absolute stereochemistry.



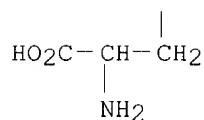
RN 188576-03-6 HCAPLUS

CN Tyrosine, O-[[3-[4-(aminoiminomethyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- dihydrochloride (9CI) (CA INDEX NAME)

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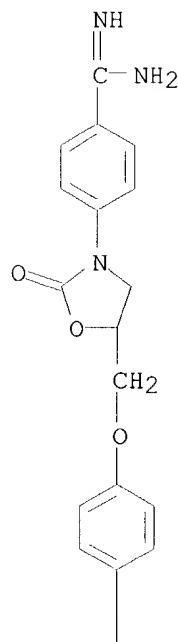


●2 HCl

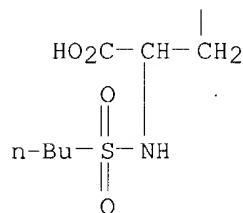
RN 188576-04-7 HCPLUS

CN Tyrosine, O-[(3-[4-(aminoiminomethyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



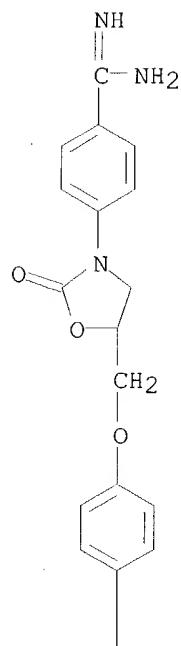
PAGE 2-A



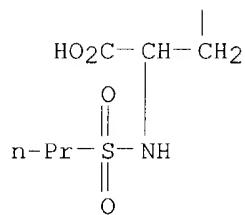
RN 188576-05-8 HCPLUS

CN Tyrosine, O-[(3-[4-(aminoiminomethyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-
N-(propylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

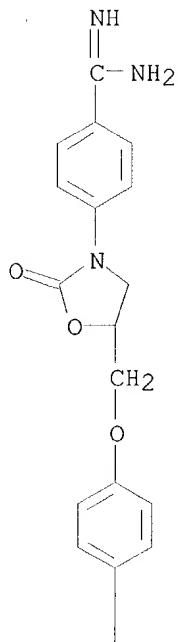


PAGE 2-A

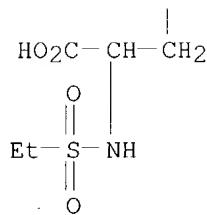


RN 188576-06-9 HCPLUS
 CN Tyrosine, O-[{3-[4-(aminoiminomethyl)phenyl]-2-oxo-5-oxazolidinyl}methyl]-
 N-(ethylsulfonyl)- (9CI) (CA INDEX NAME)

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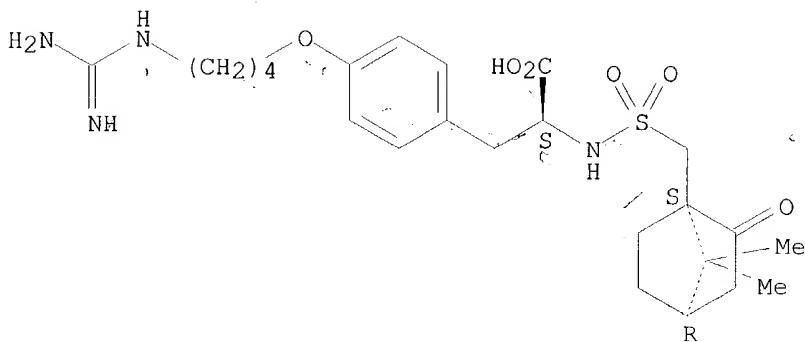
PAGE 2-A



RN 199807-23-3 HCPLUS

CN L-Tyrosine, O-[4-(aminoiminomethyl)amino]butyl]-N-[(1S,4R)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl]methylsulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 110-52-1, 1,4-Dibromobutane 111-24-0, 1,5-Dibromopentane
 556-03-6, DL-Tyrosine 556-52-5, Oxiranemethanol
 594-44-5, Ethanesulfonyl chloride 873-74-5,
 p-Aminobenzonitrile 2386-60-9, Butanesulfonyl chloride
 3978-80-1 10147-36-1, Propanesulfonyl chloride
 21286-54-4, 10-Camphorsulfonyl chloride 38184-47-3,
 3,5-Dimethylpyrazole-1-carboxamidine nitrate 70642-86-3
142847-18-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of sulfonyltyrosine derivs. as $\alpha\beta\delta$ mediated
 angiogenesis inhibitors for treatment of eye diseases)

RN 110-52-1 HCAPLUS

CN Butane, 1,4-dibromo- (8CI, 9CI) (CA INDEX NAME)

$\text{Br}-(\text{CH}_2)_4-\text{Br}$

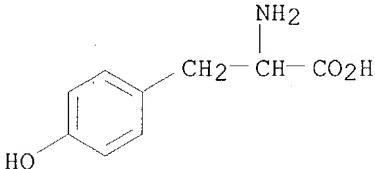
RN 111-24-0 HCAPLUS

CN Pentane, 1,5-dibromo- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

$\text{Br}-(\text{CH}_2)_5-\text{Br}$

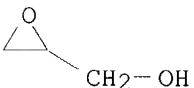
RN 556-03-6 HCAPLUS

CN Tyrosine (9CI) (CA INDEX NAME)

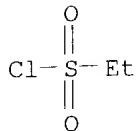


RN 556-52-5 HCAPLUS

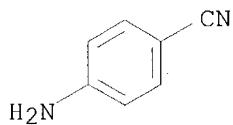
CN Oxiranemethanol (9CI) (CA INDEX NAME)



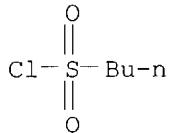
RN 594-44-5 HCAPLUS
 CN Ethanesulfonyl chloride (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



RN 873-74-5 HCAPLUS
 CN Benzonitrile, 4-amino- (9CI) (CA INDEX NAME)

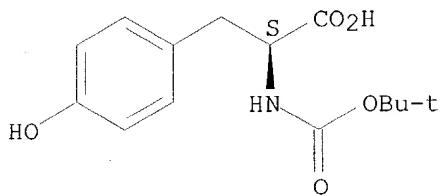


RN 2386-60-9 HCAPLUS
 CN 1-Butanesulfonyl chloride (7CI, 8CI, 9CI) (CA INDEX NAME)

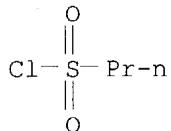


RN 3978-80-1 HCAPLUS
 CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



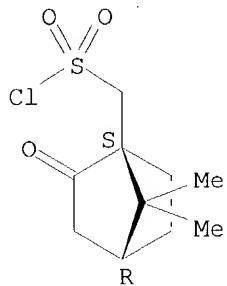
RN 10147-36-1 HCAPLUS
 CN 1-Propanesulfonyl chloride (7CI, 8CI, 9CI) (CA INDEX NAME)



RN 21286-54-4 HCAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonyl chloride, 7,7-dimethyl-2-oxo-,
 (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



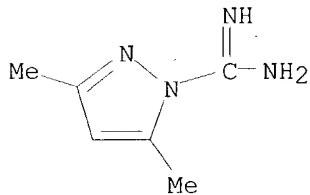
RN 38184-47-3 HCPLUS

CN 1H-Pyrazole-1-carboximidamide, 3,5-dimethyl-, mononitrate (9CI) (CA INDEX NAME)

CM 1

CRN 22906-75-8

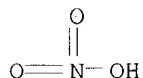
CMF C6 H10 N4



CM 2

CRN 7697-37-2

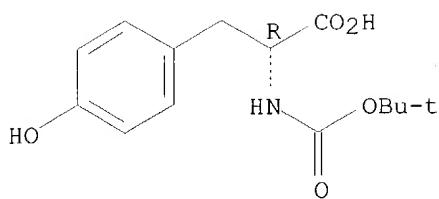
CMF H N O3



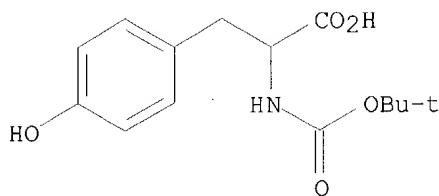
RN 70642-86-3 HCPLUS

CN D-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



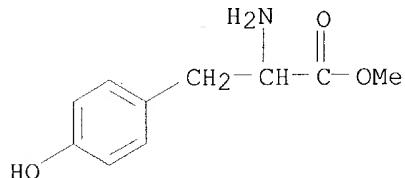
RN 142847-18-5 HCPLUS
 CN Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)



IT 18869-47-1P 19391-35-6P 129439-63-0P
 178380-48-8P 188575-90-8P 188575-91-9P
 188575-92-0P 188575-93-1P 188575-94-2P
 188575-96-4P 188576-01-4P 188576-07-0P
 188576-08-1P 188576-09-2P 188576-10-5P
 188576-11-6P 188576-14-9P 188576-15-0P
 188576-16-1P 199807-22-2P 199807-24-4P
 199807-25-5P 199807-26-6P 199807-27-7P

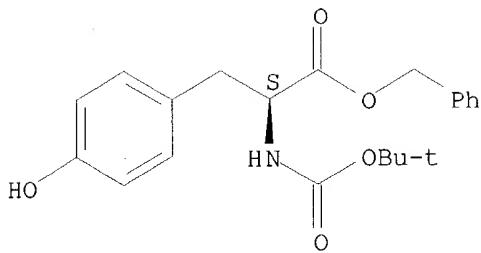
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of sulfonyltyrosine derivs. as $\alpha\beta 5$ mediated angiogenesis inhibitors for treatment of eye diseases)

RN 18869-47-1 HCPLUS
 CN Tyrosine, methyl ester (9CI) (CA INDEX NAME)



RN 19391-35-6 HCPLUS
 CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI)
 (CA INDEX NAME)

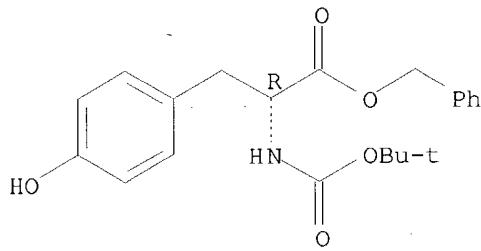
Absolute stereochemistry.



RN 129439-63-0 HCAPLUS

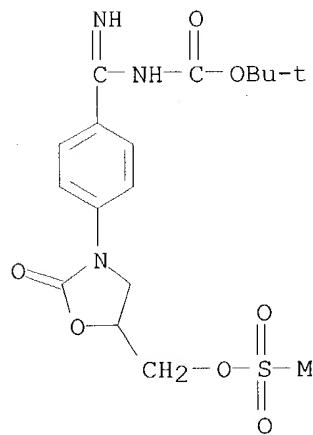
CN D-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 178380-48-8 HCAPLUS

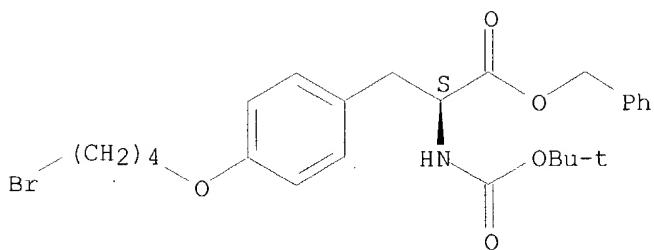
CN Carbamic acid, [imino[4-[5-[(methylsulfonyl)oxy]methyl]-2-oxo-3-oxazolidinyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 188575-90-8 HCAPLUS

CN L-Tyrosine, O-(4-bromobutyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

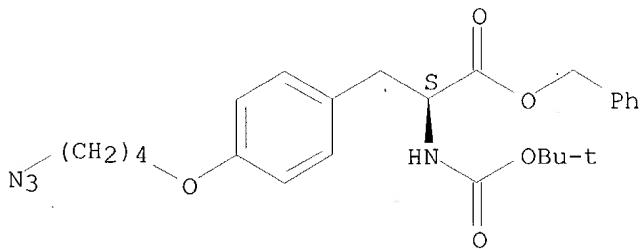
Absolute stereochemistry.



RN 188575-91-9 HCPLUS

CN L-Tyrosine, O-(4-azidobutyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

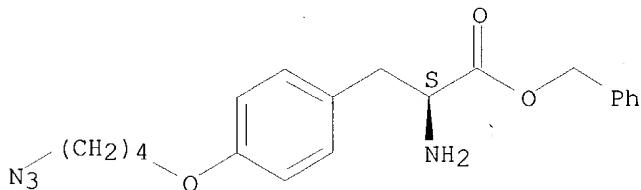
Absolute stereochemistry.



RN 188575-92-0 HCPLUS

CN L-Tyrosine, O-(4-azidobutyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

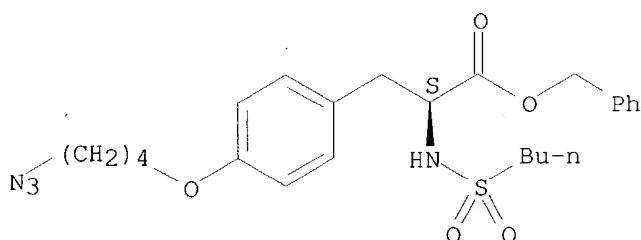
Absolute stereochemistry.



RN 188575-93-1 HCPLUS

CN L-Tyrosine, O-(4-azidobutyl)-N-(butylsulfonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

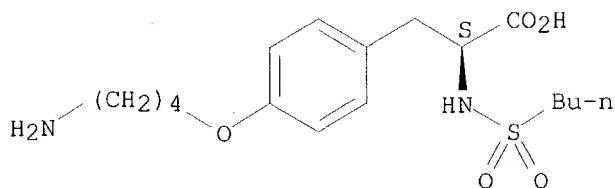
Absolute stereochemistry.



RN 188575-94-2 HCAPLUS

CN L-Tyrosine, O-(4-aminobutyl)-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

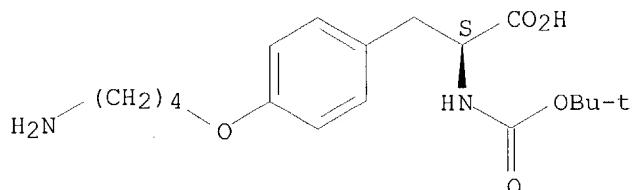
Absolute stereochemistry.



RN 188575-96-4 HCAPLUS

CN L-Tyrosine, O-(4-aminobutyl)-N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

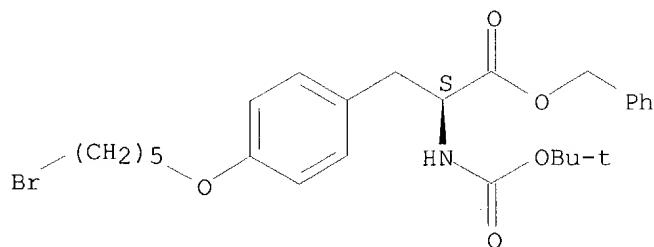
Absolute stereochemistry.



RN 188576-01-4 HCAPLUS

CN L-Tyrosine, O-(5-bromopentyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

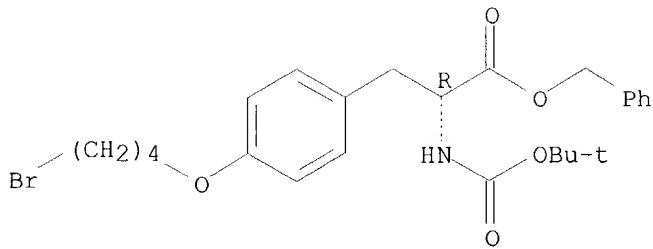
Absolute stereochemistry.



RN 188576-07-0 HCAPLUS

CN D-Tyrosine, O-(4-bromobutyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

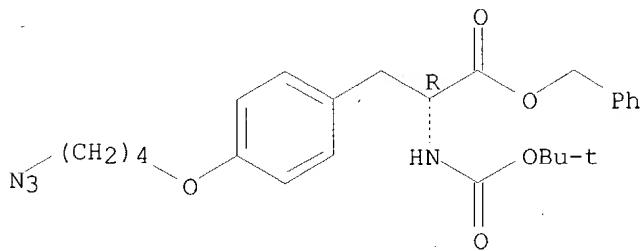
Absolute stereochemistry.



RN 188576-08-1 HCAPLUS

CN D-Tyrosine, O-(4-bromobutyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

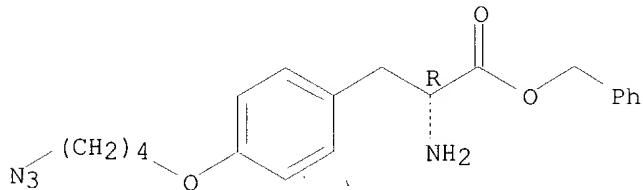
Absolute stereochemistry.



RN 188576-09-2 HCAPLUS

CN D-Tyrosine, O-(4-azidobutyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

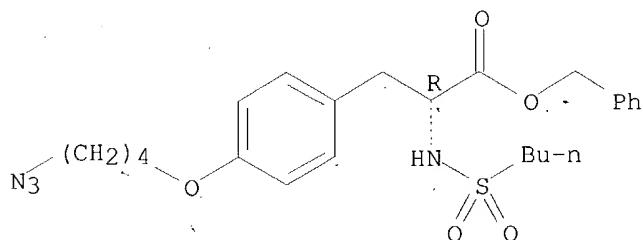
Absolute stereochemistry.



RN 188576-10-5 HCAPLUS

CN D-Tyrosine, O-(4-azidobutyl)-N-(butylsulfonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

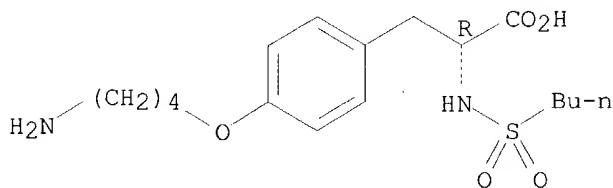
Absolute stereochemistry.



RN 188576-11-6 HCAPLUS

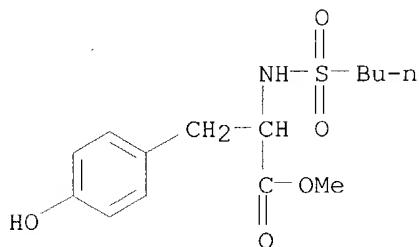
CN D-Tyrosine, O-(4-aminobutyl)-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



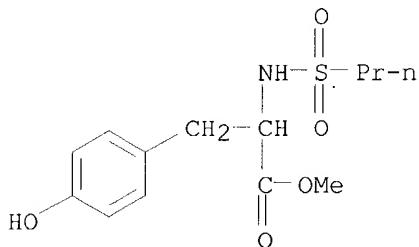
RN 188576-14-9 HCAPLUS

CN Tyrosine, N-(butylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



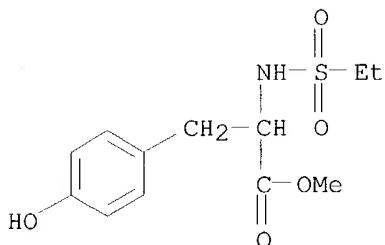
RN 188576-15-0 HCAPLUS

CN Tyrosine, N-(propylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 188576-16-1 HCAPLUS

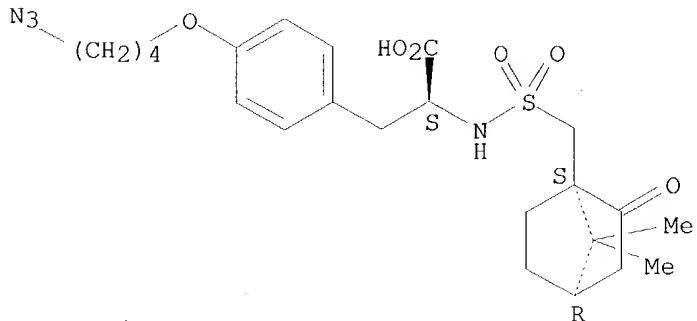
CN Tyrosine, N-(ethylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 199807-22-2 HCAPLUS

CN L-Tyrosine, O-(4-azidobutyl)-N-[[[(1S,4R)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)

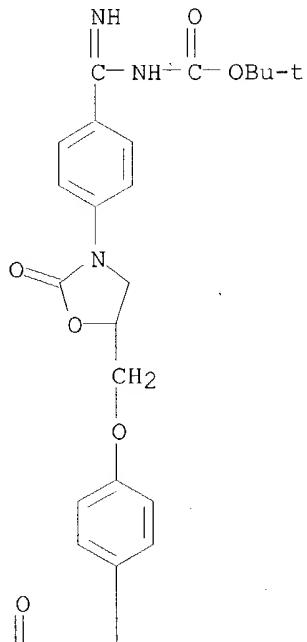
Absolute stereochemistry.



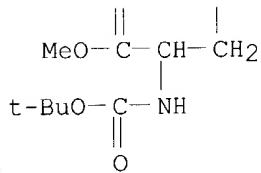
RN 199807-24-4 HCPLUS

CN Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

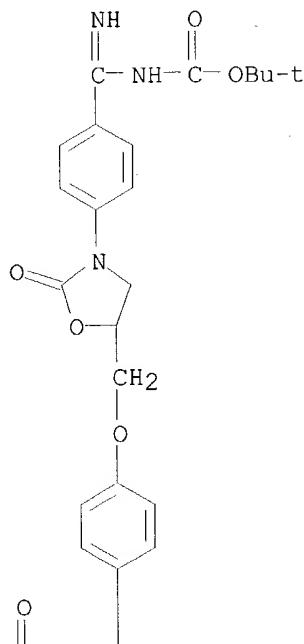


PAGE 2-A

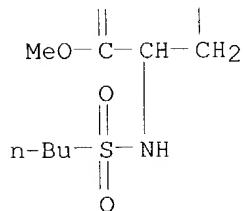


RN 199807-25-5 HCAPLUS
 CN Tyrosine, N-(butylsulfonyl)-O-[[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, methyl ester (9CI)
 (CA INDEX NAME)

PAGE 1-A



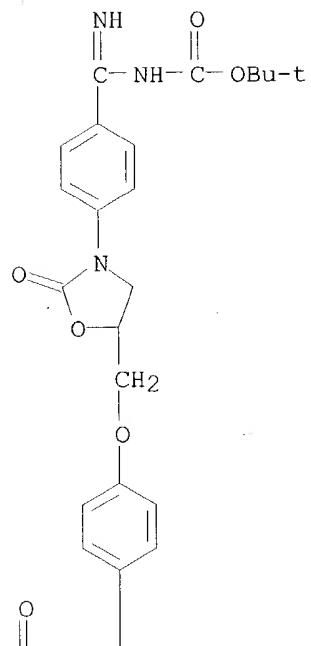
PAGE 2-A



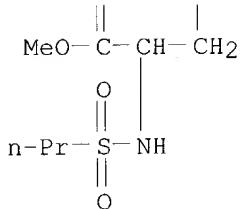
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(CA INDEX NAME)

PAGE 1-A

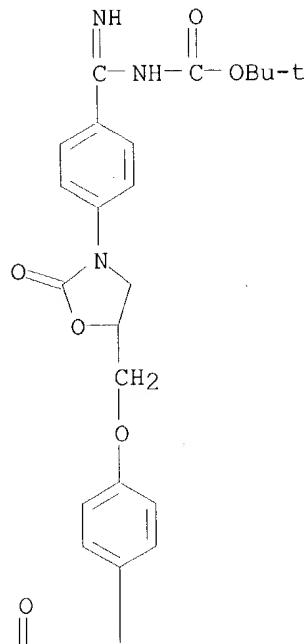


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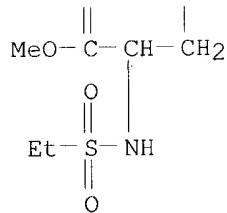


RN 199807-27-7 HCPLUS
 CN Tyrosine, O-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-N-(ethylsulfonyl)-, methyl ester (9CI)
 (CA INDEX NAME)

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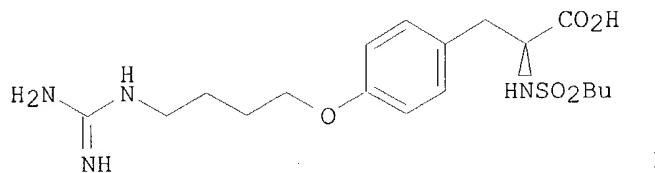
PAGE 2-A



L11 ANSWER 2 OF 2 HCPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1997:265569 HCPLUS
 DOCUMENT NUMBER: 126:251416
 TITLE: Preparation of tyrosine derivatives as compounds useful for inhibition of vitronectin $\alpha v \beta 5$ integrin-mediated angiogenesis
 INVENTOR(S): Brooks, Peter; Cheresh, David A.; Friedlander, Martin
 PATENT ASSIGNEE(S): Scripps Research Institute, USA; Brooks, Peter; Cheresh, David A.; Friedlander, Martin
 SOURCE: PCT Int. Appl., 126 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9706791	A1	19970227	WO 1996-US13194	19960813
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM			
AU 9668466	A1	19970312	AU 1996-68466	19960813
AU 726793	B2	20001123		
EP 844874	A1	19980603	EP 1996-928868	19960813
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI			
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JP 11511171	T2	19990928	JP 1996-509460	19960813
RU 2214268	C2	20031020	RU 1998-104128	19960813
ZA 9606886	A	19970424	ZA 1996-6886	19960814
NO 9800622	A	19980407	NO 1998-622	19980213
PRIORITY APPLN. INFO.:			US 1995-514799 A	19950814
			WO 1996-US13194 W	19960813

GI



AB The present invention describes methods for inhibiting angiogenesis in tissues using vitronectin $\alpha v\beta 5$ antagonists. The $\alpha v\beta 5$ -mediated angiogenesis is correlated with exposure to cytokines including vascular endothelial growth factor, transforming growth factor- α and epidermal growth factor. Inhibition of $\alpha v\beta 5$ -mediated angiogenesis is particularly preferred in vascular endothelial ocular neovascular diseases, in tumor growth and in inflammatory conditions, using therapeutic compns. containing $\alpha v\beta 5$ antagonists. Thus, Boc-Tyr-OCH2Ph (preparation given) was converted in 6 steps into guanidino derivtive I. I and related guanidine and amidine derivs. were useful as angiogenesis inhibitors.

IC ICM A61K031-12
ICS A61K038-00; A61K038-04; C07K005-00; C07K007-00; C07K016-00; C07K017-00

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 2, 15, 28, 63

ST sulfonyltyrosine deriv prep vitronectin receptor antagonist; monoclonal antibody vitronectin angiogenesis inhibitor; RGD cyclic peptide prep angiogenesis inhibitor

IT Antibodies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(monoclonal; preparation of tyrosine derivs. as compds. useful for inhibition of vitronectin $\alpha v\beta 5$ integrin-mediated angiogenesis)

IT Angiogenesis inhibitors
 (preparation of tyrosine derivs. as compds. useful for inhibition of vitronectin $\alpha\beta 5$ integrin-mediated angiogenesis)

IT RGD peptides
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (preparation of tyrosine derivs. as compds. useful for inhibition of vitronectin $\alpha\beta 5$ integrin-mediated angiogenesis)

IT Vitronectin receptors
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 $(\alpha\beta 5;$ preparation of tyrosine derivs. as compds. useful for inhibition of vitronectin $\alpha\beta 5$ integrin-mediated angiogenesis)

IT 137813-35-5P 137894-01-0P 153127-33-4P
 161659-55-8P 170930-40-2P 188575-95-3P
 188575-97-5P 188575-98-6P 188576-00-3P
 188576-02-5P 188576-03-6P 188576-04-7P
 188576-05-8P 188576-06-9P 188576-17-2P
 188576-18-3P 188576-19-4P 188576-20-7P
188601-17-4P 188601-18-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of tyrosine derivs. as compds. useful for inhibition of vitronectin $\alpha\beta 5$ integrin-mediated angiogenesis)

IT 110-52-1, 1,4-Dibromobutane 111-24-0, 1,5-Dibromopentane
 556-03-6, DL-Tyrosine 556-52-5, Oxiranemethanol
 594-44-5, Ethanesulfonyl chloride 873-74-5,
 p-Aminobenzonitrile 2386-60-9, Butanesulfonyl chloride
 3978-80-1 10147-36-1, Propanesulfonyl chloride
 21286-54-4, 10-Camphorsulfonyl chloride 38184-47-3,
 3,5-Dimethylpyrazole-1-carboxamidine nitrate 70642-86-3
142847-18-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of tyrosine derivs. as compds. useful for inhibition of vitronectin $\alpha\beta 5$ integrin-mediated angiogenesis)

IT 19391-35-6P 129439-63-0P 178380-48-8P
 188575-90-8P 188575-91-9P 188575-92-0P
 188575-93-1P 188575-94-2P 188575-96-4P
 188575-99-7P 188576-01-4P 188576-07-0P
 188576-08-1P 188576-09-2P 188576-10-5P
 188576-11-6P 188576-13-8P 188576-14-9P
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188576-22-9P 188576-23-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of tyrosine derivs. as compds. useful for inhibition of vitronectin $\alpha\beta 5$ integrin-mediated angiogenesis)

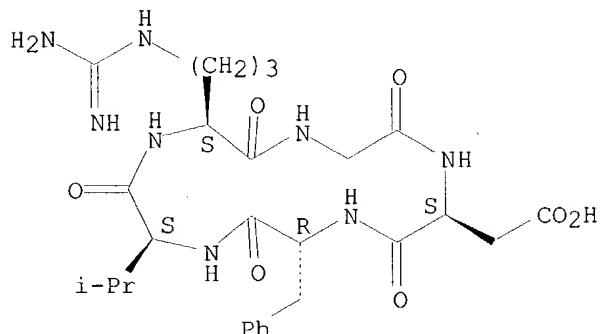
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188601-17-4P 188601-18-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tyrosine derivs. as compds. useful for inhibition of
vitronectin $\alpha\beta 5$ integrin-mediated angiogenesis)

RN 137813-35-5 HCPLUS

CN Cyclo(L-arginylglycyl-L- α -aspartyl-D-phenylalanyl-L-valyl) (9CI)
(CA INDEX NAME)

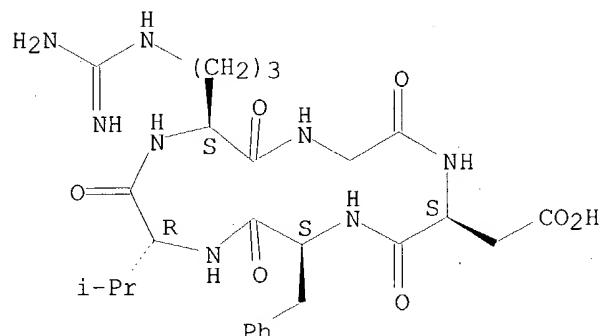
Absolute stereochemistry.



RN 137894-01-0 HCPLUS

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(CA INDEX NAME)

Absolute stereochemistry.

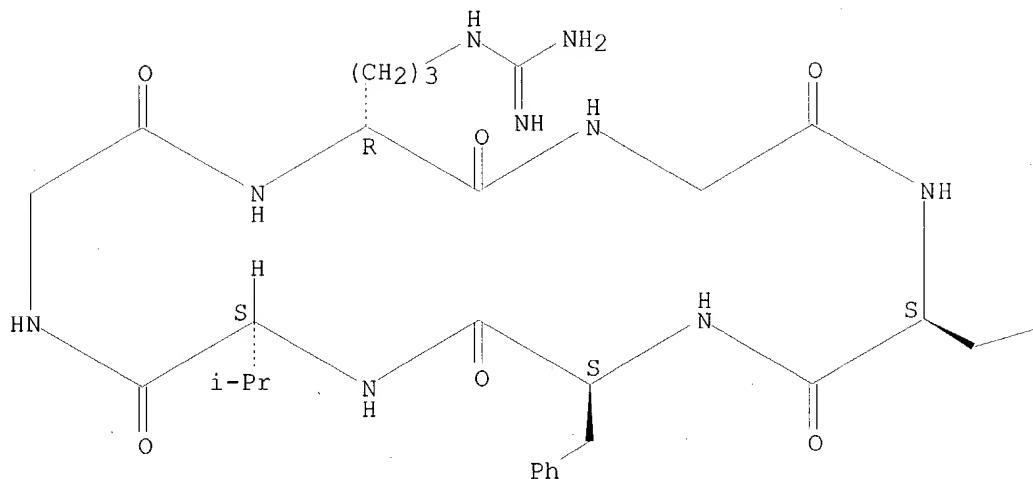


RN 153127-33-4 HCPLUS

CN Cyclo(D-arginylglycyl-L- α -aspartyl-L-phenylalanyl-L-valylglycyl)
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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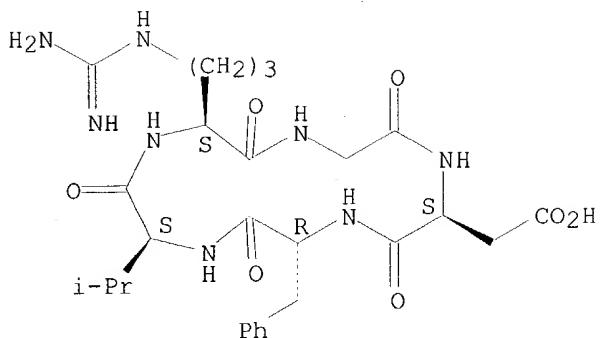


PAGE 1-B

 $\text{--CO}_2\text{H}$

RN 161659-55-8 HCPLUS
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 monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



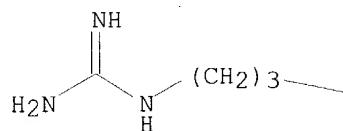
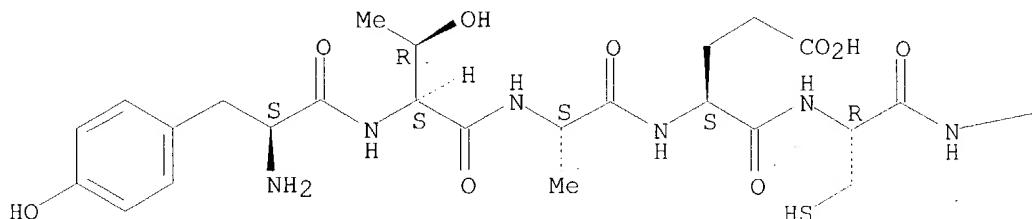
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RN 170930-40-2 HCAPLUS

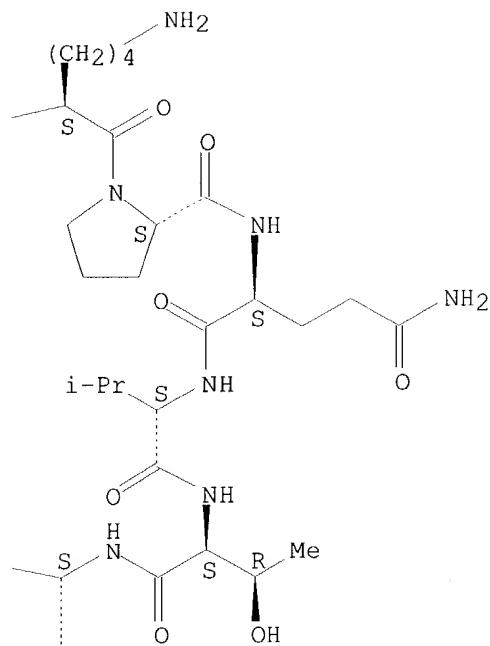
CN L-Phenylalanine, L-tyrosyl-L-threonyl-L-alanyl-L-alpha-glutamyl-L-cysteinyl-L-lysyl-L-prolyl-L-glutaminyl-L-valyl-L-threonyl-L-arginylglycyl-L-alpha-aspartyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

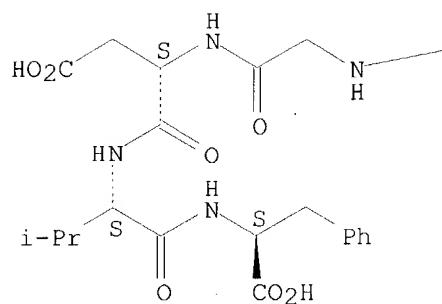
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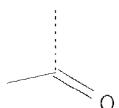
PAGE 1-B



PAGE 2-A



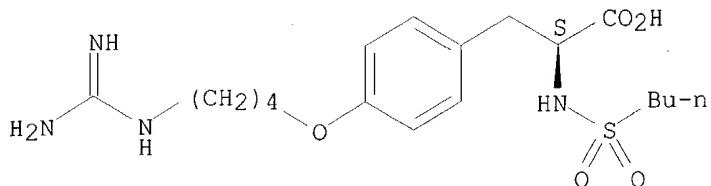
PAGE 2-B



RN 188575-95-3 HCPLUS

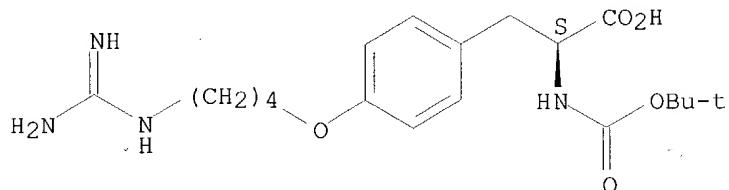
CN L-Tyrosine, O-[4-[(aminoiminomethyl)amino]butyl]-N-(butylsulfonyl)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



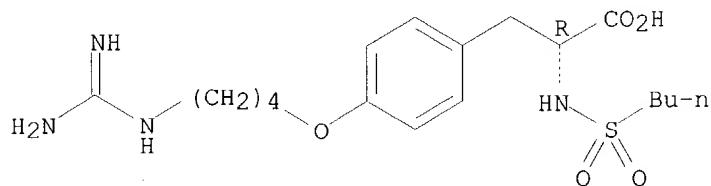
RN 188575-97-5 HCPLUS
 CN L-Tyrosine, O-[4-[(aminoiminomethyl)amino]butyl]-N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



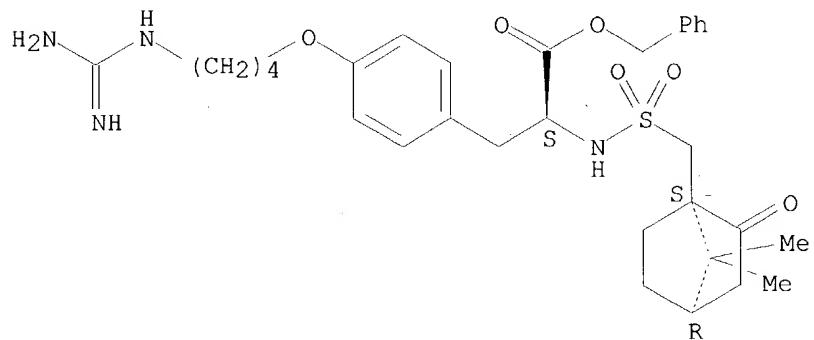
RN 188575-98-6 HCPLUS
 CN D-Tyrosine, O-[4-[(aminoiminomethyl)amino]butyl]-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188576-00-3 HCPLUS
 CN L-Tyrosine, O-[4-[(aminoiminomethyl)amino]butyl]-N-[[[(1S,4R)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl]methyl]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

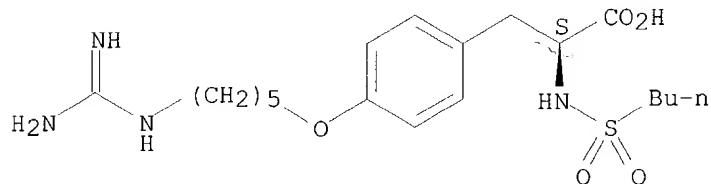
Absolute stereochemistry.



RN 188576-02-5 HCPLUS

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(CA INDEX NAME)

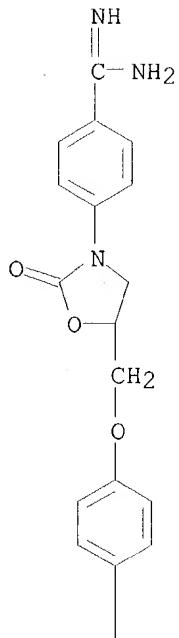
Absolute stereochemistry.



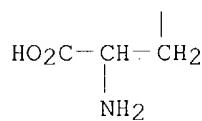
RN 188576-03-6 HCPLUS

CN Tyrosine, O-[[3-[4-(aminoiminomethyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

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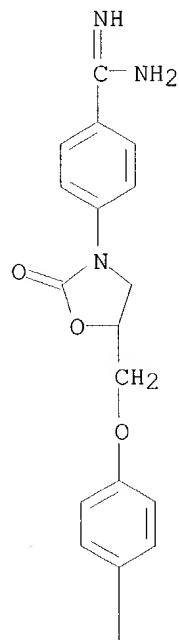
PAGE 2-A



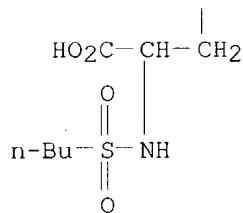
● 2 HCl

RN 188576-04-7 HCAPLUS
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 N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

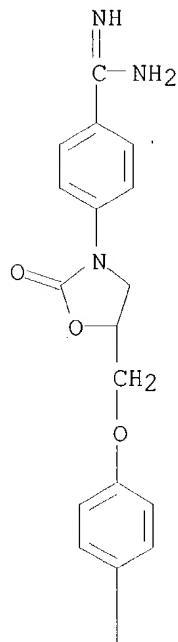


PAGE 2-A

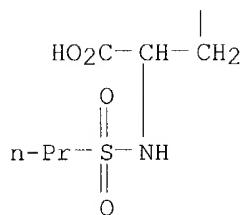


RN 188576-05-8 HCAPLUS
 CN Tyrosine, O-[{3-[4-(aminoiminomethyl)phenyl]-2-oxo-5-oxazolidinyl}methyl]-
 N-(propylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



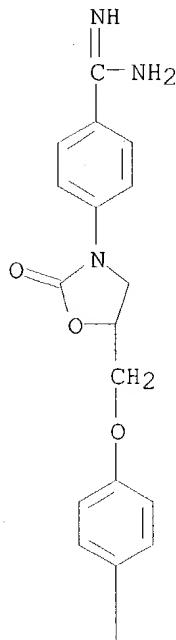
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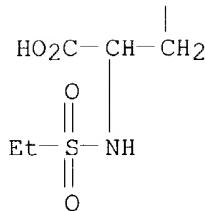
RN 188576-06-9 HCAPLUS

CN Tyrosine, O-[3-[4-(aminoiminomethyl)phenyl]-2-oxo-5-oxazolidinyl]methyl-N-(ethylsulfonyl)- (9CI) (CA INDEX NAME)

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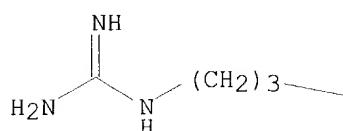
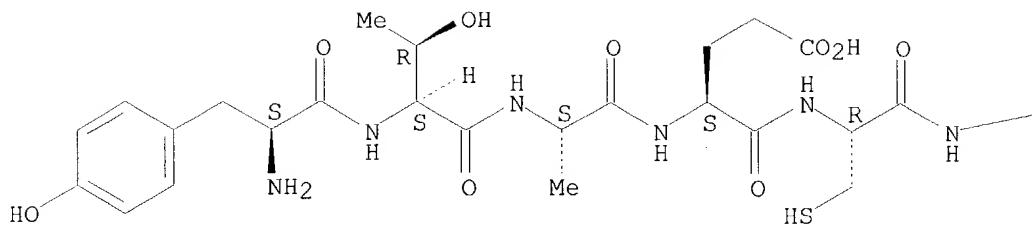


RN 188576-17-2 HCAPLUS

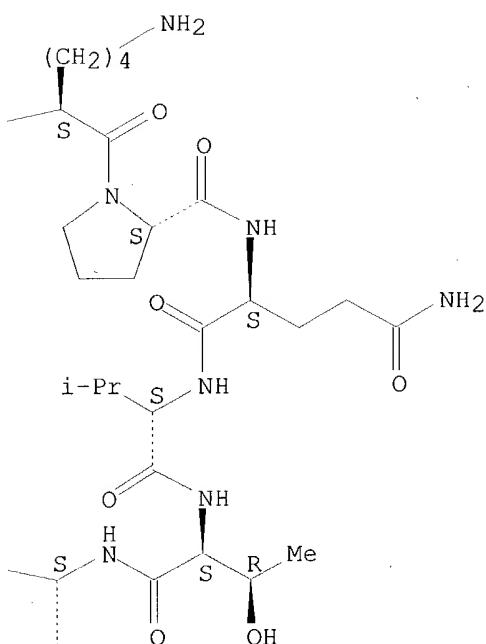
CN L-Phenylalanine, L-tyrosyl-L-threonyl-L-alanyl-L- α -glutamyl-L-cysteinyl-L-lysyl-L-prolyl-L-glutaminyl-L-valyl-L-threonyl-L-arginylglycyl-L- α -aspartyl-L-valyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

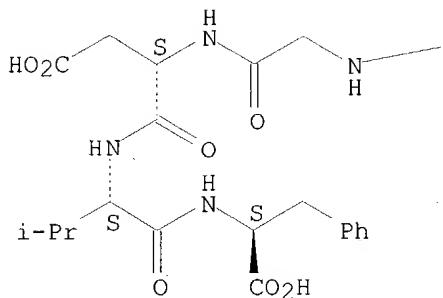
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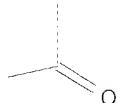


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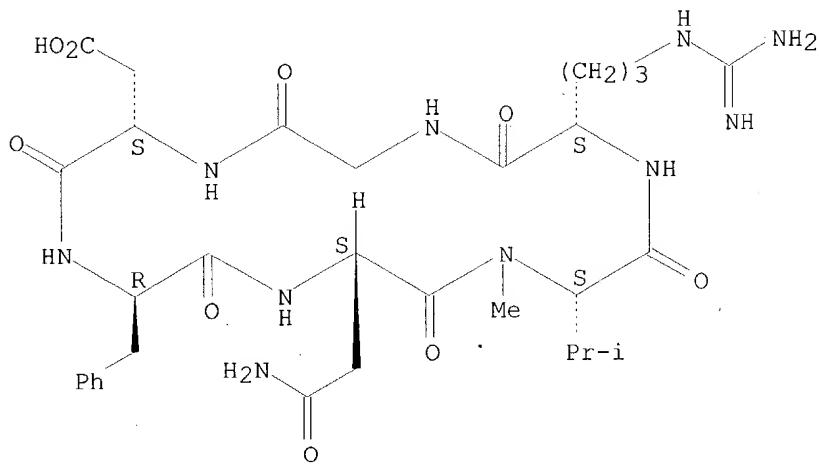
● HCl

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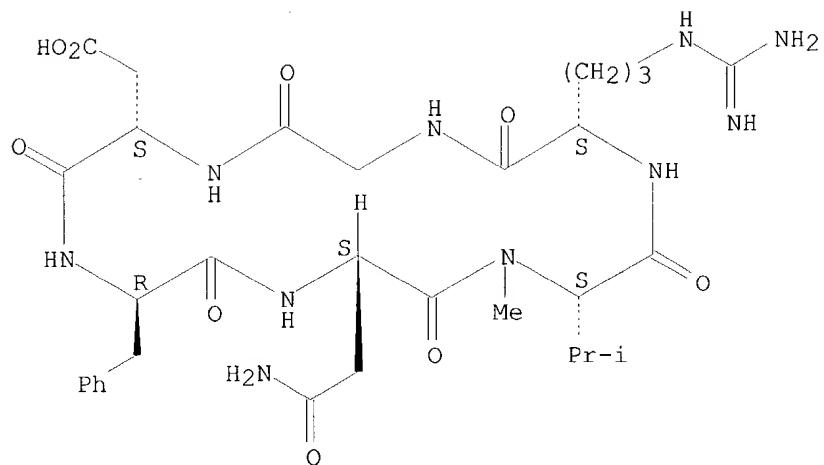
RN 188576-18-3 HCPLUS
 CN Cyclo(L-arginylglycyl-L- α -aspartyl-D-phenylalanyl-L-asparaginyl-N-methyl-L-valyl) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188576-19-4 HCPLUS
 CN Cyclo(L-arginylglycyl-L- α -aspartyl-D-phenylalanyl-L-asparaginyl-N-methyl-L-valyl), monohydrochloride (9CI) (CA INDEX NAME)

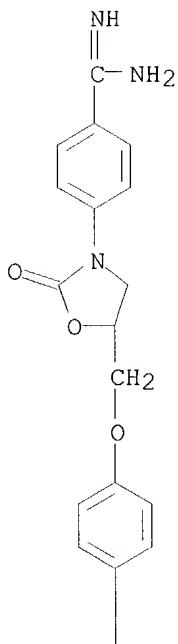
Absolute stereochemistry.



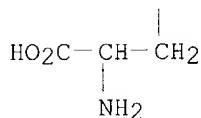
● HCl

RN 188576-20-7 HCPLUS
 CN Tyrosine, O-[3-[4-(aminoiminomethyl)phenyl]-2-oxo-5-oxazolidinylmethyl]-
 (9CI) (CA INDEX NAME)

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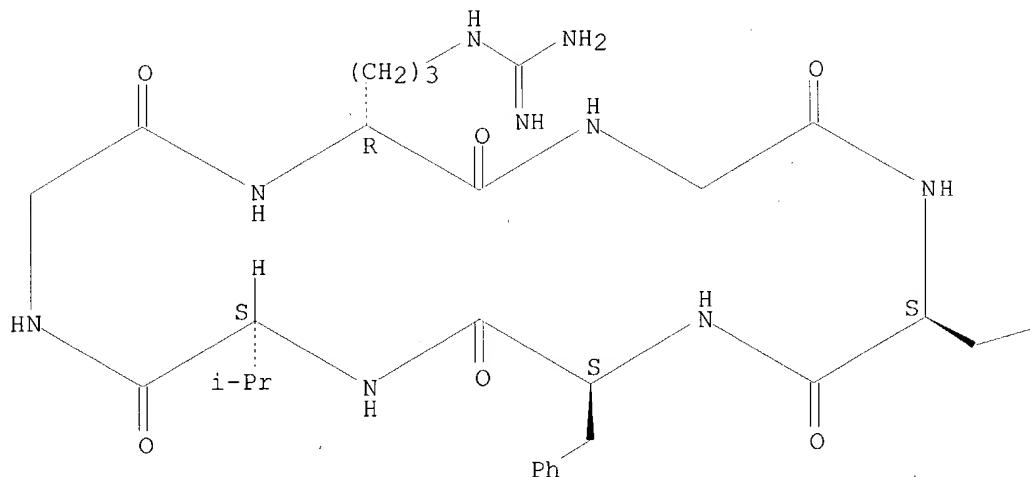


RN 188601-17-4 HCPLUS

CN Cyclo(D-arginylglycyl-L- α -aspartyl-L-phenylalanyl-L-valylglycyl),
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



● HCl

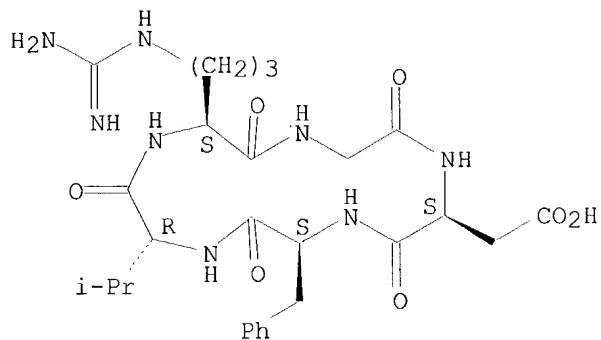
PAGE 1-B

 $\text{--CO}_2\text{H}$

RN 188601-18-5 HCPLUS

CN Cyclo(L-arginylglycyl-L- α -aspartyl-L-phenylalanyl-D-valyl),
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 110-52-1, 1,4-Dibromobutane 111-24-0, 1,5-Dibromopentane
 556-03-6, DL-Tyrosine 556-52-5, Oxiranemethanol
 594-44-5, Ethanesulfonyl chloride 873-74-5,
 p-Aminobenzonitrile 2386-60-9, Butanesulfonyl chloride
 3978-80-1 10147-36-1, Propanesulfonyl chloride
 21286-54-4, 10-Camphorsulfonyl chloride 38184-47-3,
 3,5-Dimethylpyrazole-1-carboxamidine nitrate 70642-86-3
 142847-18-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of tyrosine derivs. as compds. useful for inhibition of
 vitronectin $\alpha\beta 5$ integrin-mediated angiogenesis)

RN 110-52-1 HCPLUS

CN Butane, 1,4-dibromo- (8CI, 9CI) (CA INDEX NAME)

Br—(CH₂)₄—Br

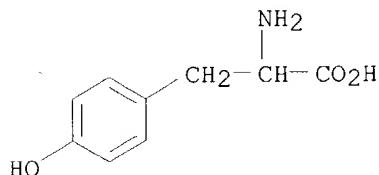
RN 111-24-0 HCPLUS

CN Pentane, 1,5-dibromo- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Br—(CH₂)₅—Br

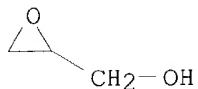
RN 556-03-6 HCPLUS

CN Tyrosine (9CI) (CA INDEX NAME)

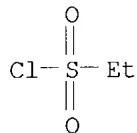


RN 556-52-5 HCPLUS

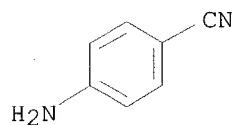
CN Oxiranemethanol (9CI) (CA INDEX NAME)



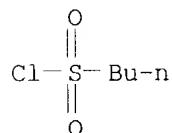
RN 594-44-5 HCPLUS
 CN Ethanesulfonyl chloride (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



RN 873-74-5 HCPLUS
 CN Benzonitrile, 4-amino- (9CI) (CA INDEX NAME)

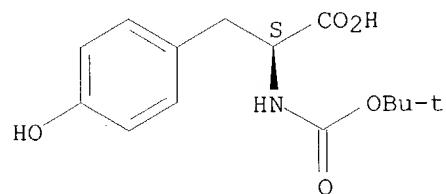


RN 2386-60-9 HCPLUS
 CN 1-Butanesulfonyl chloride (7CI, 8CI, 9CI) (CA INDEX NAME)

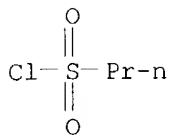


RN 3978-80-1 HCPLUS
 CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

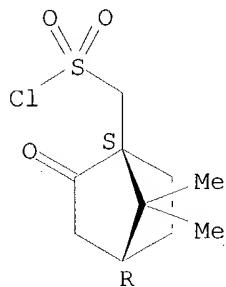


RN 10147-36-1 HCPLUS
 CN 1-Propanesulfonyl chloride (7CI, 8CI, 9CI) (CA INDEX NAME)



RN 21286-54-4 HCAPLUS
 CN Bicyclo[2.2.1]heptane-1-methanesulfonyl chloride, 7,7-dimethyl-2-oxo-,
 (1*S*,4*R*)- (9CI) (CA INDEX NAME)

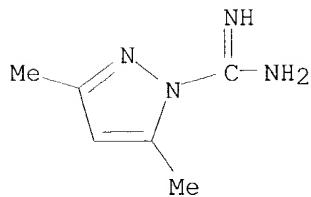
Absolute stereochemistry. Rotation (+).



RN 38184-47-3 HCAPLUS
 CN 1*H*-Pyrazole-1-carboximidamide, 3,5-dimethyl-, mononitrate (9CI) (CA INDEX NAME)

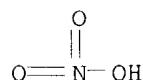
CM 1

CRN 22906-75-8
 CMF C6 H10 N4



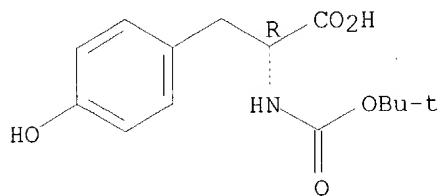
CM 2

CRN 7697-37-2
 CMF H N O3

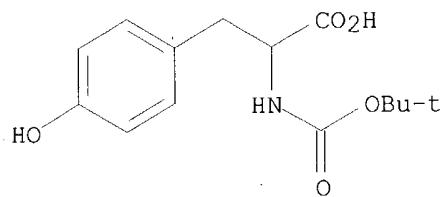


RN 70642-86-3 HCAPLUS
 CN D-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142847-18-5 HCAPLUS
 CN Tyrosine, N-[(1,1-dimethylethoxy) carbonyl]- (9CI) (CA INDEX NAME)

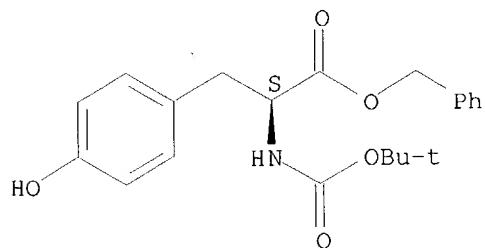


IT 19391-35-6P 129439-63-0P 178380-48-8P
 188575-90-8P 188575-91-9P 188575-92-0P
 188575-93-1P 188575-94-2P 188575-96-4P
 188575-99-7P 188576-01-4P 188576-07-0P
 188576-08-1P 188576-09-2P 188576-10-5P
 188576-11-6P 188576-13-8P 188576-14-9P
 188576-15-0P 188576-16-1P 188576-21-8P
 188576-22-9P 188576-23-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of tyrosine derivs. as compds. useful for inhibition of vitronectin $\alpha\beta 5$ integrin-mediated angiogenesis)

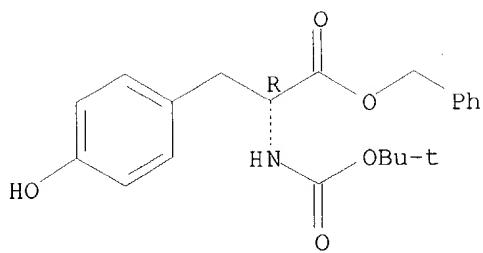
RN 19391-35-6 HCAPLUS
 CN L-Tyrosine, N-[(1,1-dimethylethoxy) carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

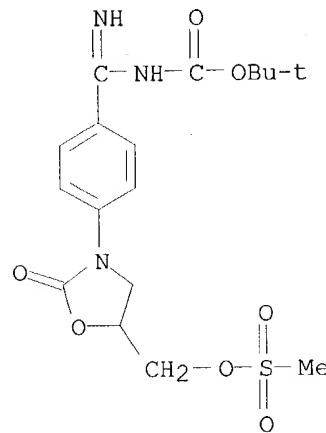


RN 129439-63-0 HCAPLUS
 CN D-Tyrosine, N-[(1,1-dimethylethoxy) carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

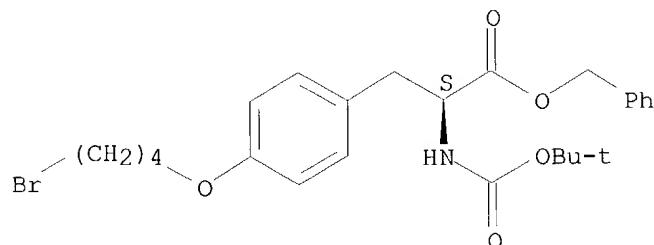


RN 178380-48-8 HCAPLUS
 CN Carbamic acid, [imino[4-[5-[(methylsulfonyl)oxy]methyl]-2-oxo-3-oxazolidinyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



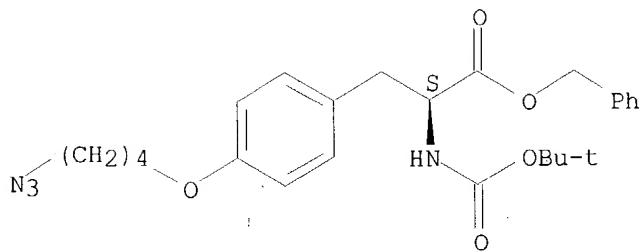
RN 188575-90-8 HCAPLUS
 CN L-Tyrosine, O-(4-bromobutyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188575-91-9 HCAPLUS
 CN L-Tyrosine, O-(4-azidobutyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

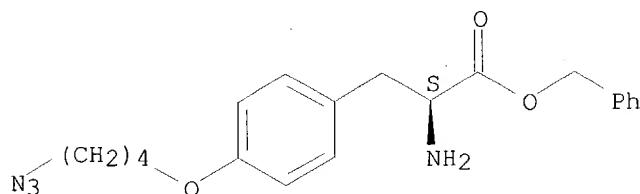
Absolute stereochemistry.



RN 188575-92-0 HCAPLUS

CN L-Tyrosine, O-(4-azidobutyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

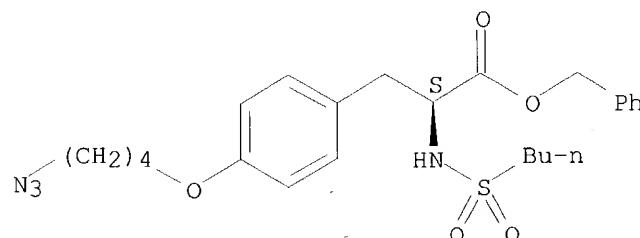
Absolute stereochemistry.



RN 188575-93-1 HCAPLUS

CN L-Tyrosine, O-(4-azidobutyl)-N-(butylsulfonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

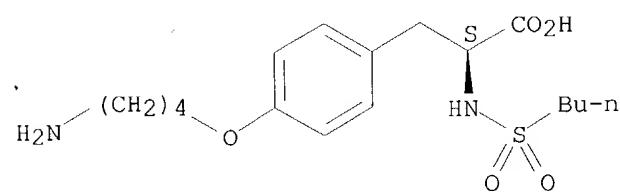
Absolute stereochemistry.



RN 188575-94-2 HCAPLUS

CN L-Tyrosine, O-(4-aminobutyl)-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

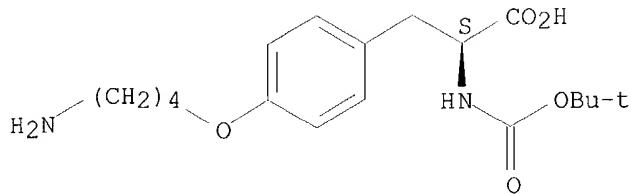
Absolute stereochemistry.



RN 188575-96-4 HCAPLUS

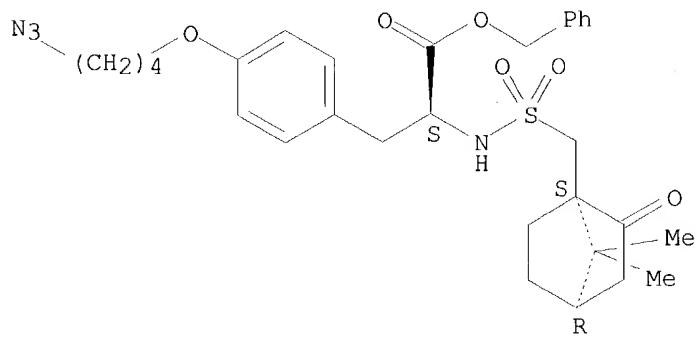
CN L-Tyrosine, O-(4-aminobutyl)-N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



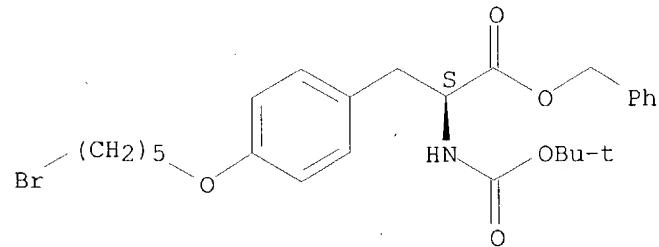
RN 188575-99-7 HCAPLUS
 CN L-Tyrosine, O-(4-azidobutyl)-N-[[(1S,4R)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl]methyl]sulfonyl]-, phenylmethyl ester (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



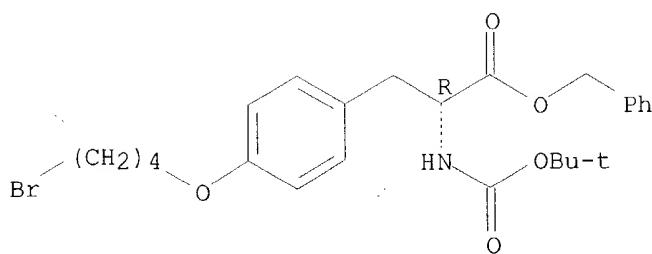
RN 188576-01-4 HCAPLUS
 CN L-Tyrosine, O-(5-bromopentyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188576-07-0 HCAPLUS
 CN D-Tyrosine, O-(4-bromobutyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

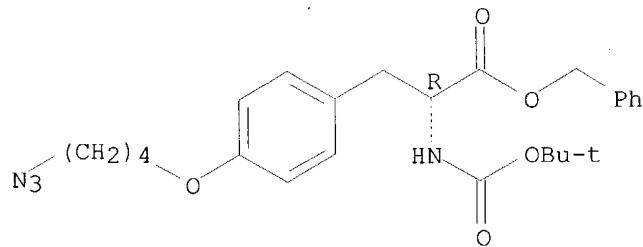
Absolute stereochemistry.



RN 188576-08-1 HCPLUS

CN D-Tyrosine, O-(4-azidobutyl)-N-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

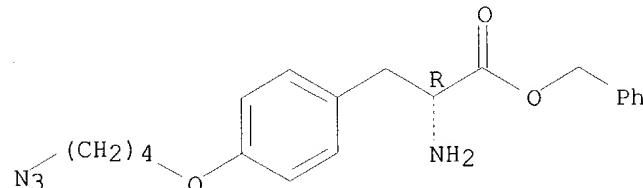
Absolute stereochemistry.



RN 188576-09-2 HCPLUS

CN D-Tyrosine, O-(4-azidobutyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

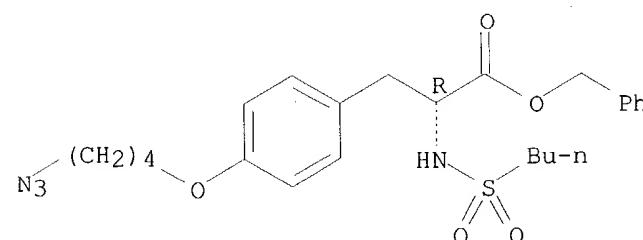
Absolute stereochemistry.



RN 188576-10-5 HCPLUS

CN D-Tyrosine, O-(4-azidobutyl)-N-(butylsulfonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

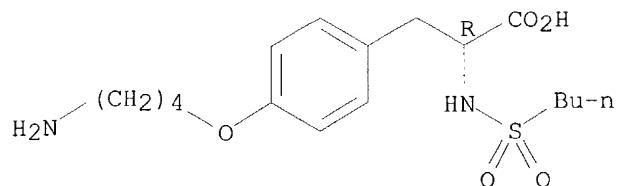
Absolute stereochemistry.



RN 188576-11-6 HCPLUS

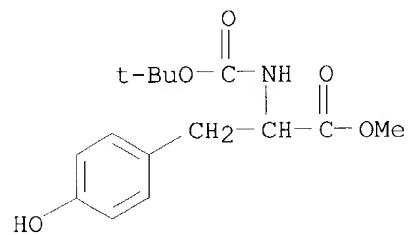
CN D-Tyrosine, O-(4-aminobutyl)-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



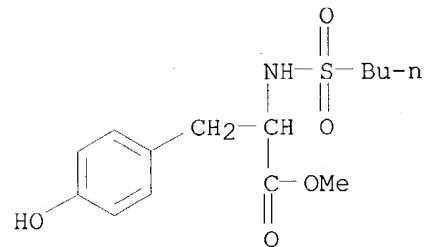
RN 188576-13-8 HCPLUS

CN Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



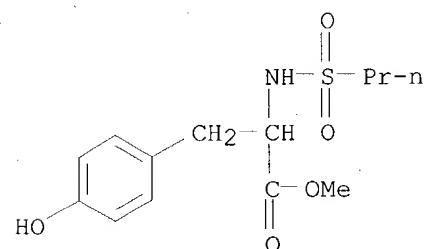
RN 188576-14-9 HCPLUS

CN Tyrosine, N-(butylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



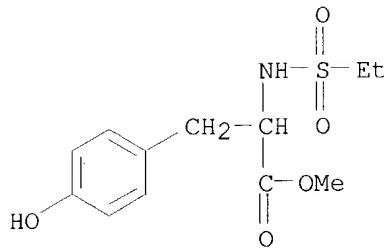
RN 188576-15-0 HCPLUS

CN Tyrosine, N-(propylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 188576-16-1 HCPLUS

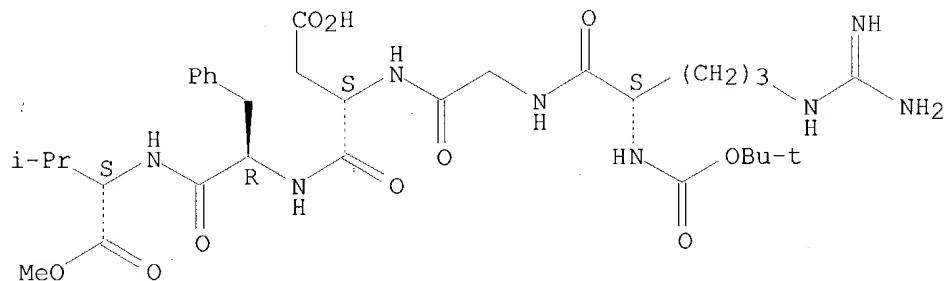
CN Tyrosine, N-(ethylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 188576-21-8 HCPLUS

CN L-Valine, N2-[(1,1-dimethylethoxy)carbonyl]-L-arginylglycyl-L- α -aspartyl-D-phenylalanyl-, 5-methyl ester (9CI) (CA INDEX NAME)

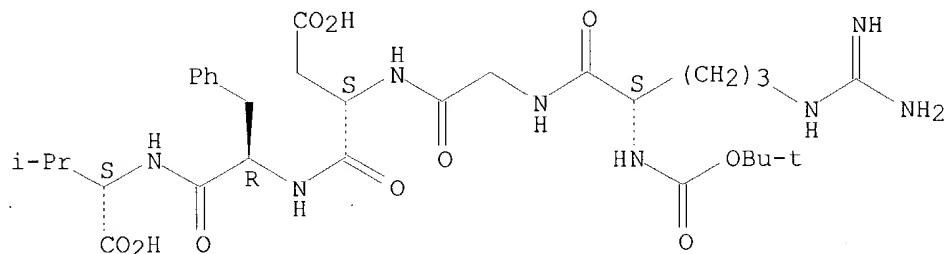
Absolute stereochemistry.



RN 188576-22-9 HCPLUS

CN L-Valine, N2-[(1,1-dimethylethoxy)carbonyl]-L-arginylglycyl-L- α -aspartyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188576-23-0 HCPLUS

CN L-Valine, L-arginylglycyl-L- α -aspartyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

